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=> d his

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     FILE 'REGISTRY' ENTERED AT 11:49:47 ON 07 MAR 2006
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L2               STRUCTURE UPLOADED
L3             4 S L1 OR L2
L4            64 S L3 FULL

=> fil capl
FILE 'CAPLUS' ENTERED AT 11:52:02 ON 07 MAR 2006
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FILE LAST UPDATED: 6 Mar 2006  (20060306/ED)

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L5             6 L4

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L1               STR
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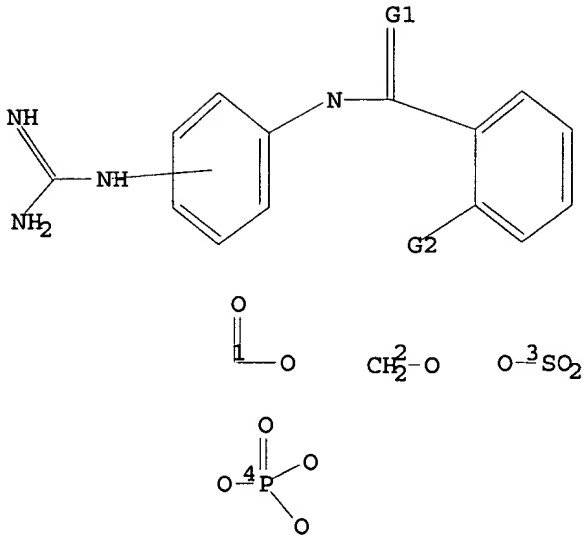

G1 O,S,N,CH2

G2 OH,SO3H,[@1],[@2],[@3],[@4]

Structure attributes must be viewed using STN Express query preparation.
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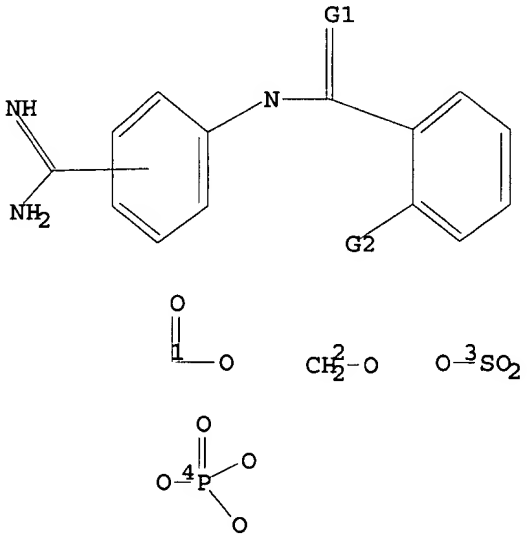


G1 O,S,N,CH2

G2 OH,SO3H,[@1],[@2],[@3],[@4]

Structure attributes must be viewed using STN Express query preparation.
L4 64 SEA FILE=REGISTRY SSS FUL L1 OR L2

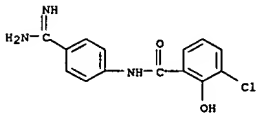
100.0% PROCESSED 3661 ITERATIONS 64 ANSWERS
SEARCH TIME: 00.00.01

=> d 1-6 bib abs hitstr

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1343003 CAPLUS
DN 144:80584
TI Insight into the Structural Requirements of Urokinase-Type Plasminogen Activator Inhibitors Based on 3D QSAR CoMFA/CoMSIA Models
AU Bhongade, Bhoomendra A.; Gadad, Andanappa K.
CS Department of Medicinal Chemistry College of Pharmacy, J. N. Medical College, Karnataka, India
SO Journal of Medicinal Chemistry (2006), 49(2), 475-489
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Urokinase-type plasminogen activator (uPA), a trypsin-like serine protease, has been implicated in large number of malignancies, tumor cell invasion, angiogenesis and metastasis; hence, the potent and selective inhibitors of uPA may therefore be therapeutically useful drugs for treatment of various forms of cancer. A three-dimensional quant. structure-activity relation (3D QSAR) study was performed on five different chemical series reported as selective uPA inhibitors employing comparative mol. field anal. (CoMFA)/comparative mol. similarity indexes anal. (CoMSIA) techniques to investigate the structural requirements for substrates and derive a predictive model that may be used for the design of novel uPA inhibitors. ClogP has been used as an addnl. descriptor in the CoMFA anal. to study the effects of lipophilic parameters on activity.
Inclusion of ClogP did not improve the models significantly and exhibited comparable correlation coeffs. with CoMFA steric and electrostatic models.
3D QSAR models were derived for 2-pyridinylguanidines (training set N = 25, test set N = 8), 4-aminoarylguanidines and 4-aminoarylbenzamidines (training set N = 29, test set N = 8), thiophene-2-carboxamindines (training set N = 64, test set N = 19), 2-naphthamidines (training set N = 32, test set N = 8), and 1-isoquinolinylguanidines (training set N = 29, test set N = 7). The CoMFA models with steric and electrostatic fields exhibited r2cv 0.452-0.722, r2ncv 0.812-0.986, r2pred 0.597-0.870, whereas CoMFA ClogP models showed r2cv 0.420-0.707, r2ncv 0.849-0.957, r2pred 0.600-0.870. The CoMSIA models displayed r2cv 0.663-0.729, r2ncv 0.909-0.998, r2pred 0.554-0.855. 3D contour maps generated from these models were analyzed individually, which provides the regions in space where interactive fields may influence the activity. The superimposition of contour maps on the active site of serine proteases addnl. helps in understanding the structural requirements of these inhibitors. Further, the predictive ability of 3D QSAR models was affirmed by predicting the activity of novel 2-naphthamidines. 3D QSAR models developed may be used in designing and predicting the uPA inhibitory activity of novel mols.
IT **345236-55-7 345236-59-1 345236-65-9 345236-67-1 345236-68-2 345236-71-7 345236-77-3 345236-83-1 345236-86-4 345236-88-6 345236-90-0 345236-92-2 345236-94-4 345236-96-6 498565-09-6 498565-10-9 498565-11-0 498565-12-1 498565-13-2 498565-14-3 498565-15-4 498565-16-5 498565-17-6 498565-18-7 498565-19-8 498565-20-1 498565-21-2**

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 345236-67-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-chloro-2-hydroxy- (9CI) (CA INDEX NAME)



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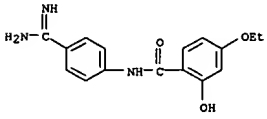

RN 345236-71-7 CAPLUS
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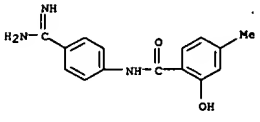

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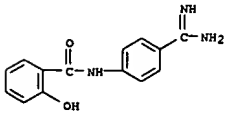
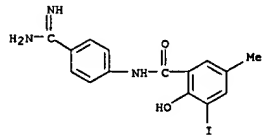


L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
498565-23-4 498565-25-6 498565-26-7 498565-27-8 498565-28-9 498565-29-0 498565-30-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(structural requirements of uPA inhibitors based on QSAR CoMFA/CoMSIA models)
RN 345236-55-7 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3-iodo-5-methyl- (9CI)
(CA INDEX NAME)



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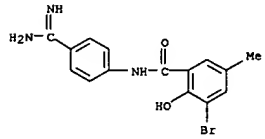

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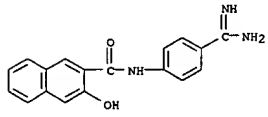

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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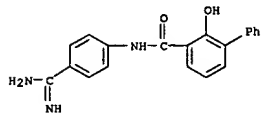

RN 345236-86-4 CAPLUS
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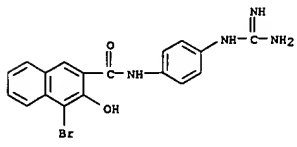

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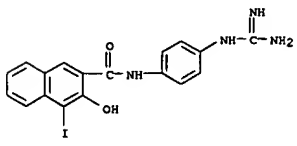
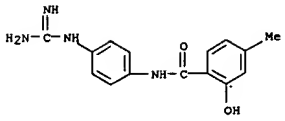


RN 345236-90-0 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-4-methyl- (9CI)
(CA INDEX NAME)

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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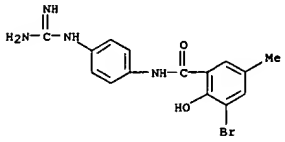

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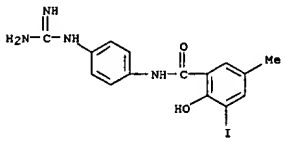

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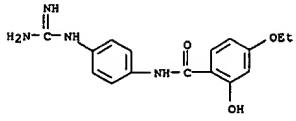
L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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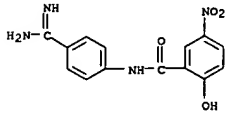

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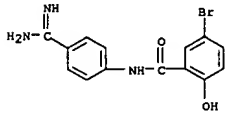

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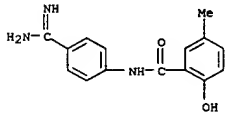

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 498565-12-1 CAPLUS
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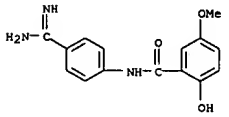

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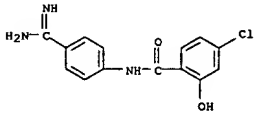

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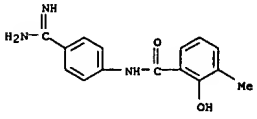
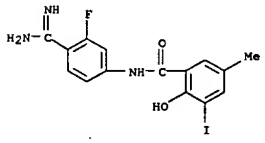


RN 498565-15-4 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)-3-fluorophenyl]-2-hydroxy-3-iodo-5-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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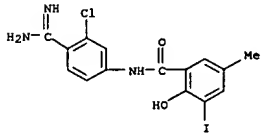

RN 498565-17-6 CAPLUS
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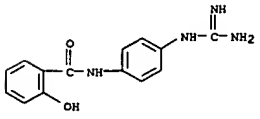

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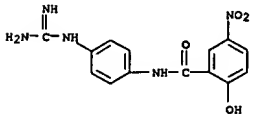

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 498565-19-8 CAPLUS
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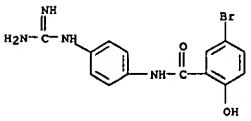

RN 498565-20-1 CAPLUS
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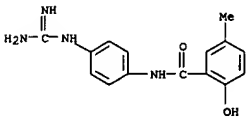

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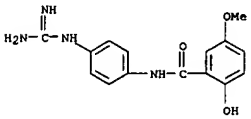

RN 498565-23-4 CAPLUS
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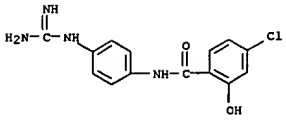
L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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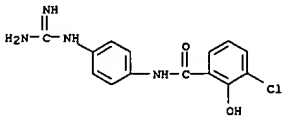

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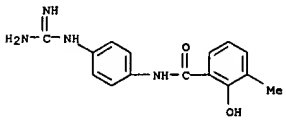

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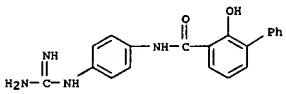

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
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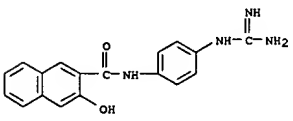
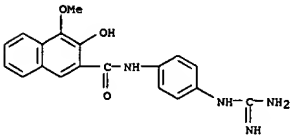
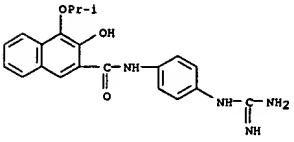


RN 498565-29-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



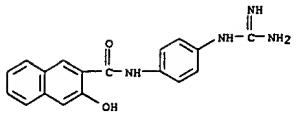
RN 498565-30-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

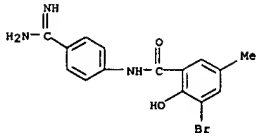
L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:917422 CAPLUS
DN 142:88665
TI Dissecting and Designing Inhibitor Selectivity Determinants at the S1 Site
Using an Artificial Ala190 Protease (Ala190 uPA)
AU Katz, Bradley A.; Luong, Christine; Ho, Joseph D.; Somoza, John R.; Gjerstad, Erik; Tang, Jie; Williams, Steven R.; Verner, Erik; Mackman, Richard L.; Young, Wendy B.; Sprengeler, Paul A.; Chan, Hedy; Mortara, Kyle; Janc, James W.; McGrath, Mary E.
CS Celera, South San Francisco, CA, 94080, USA
SO Journal of Molecular Biology (2004), 344(2), 527-547
CODEN: JMOBAK; ISSN: 0022-2836
PB Elsevier B.V.
DT Journal
LA English
AB A site-directed mutant of the serine protease urokinase-type plasminogen activator (uPA), was produced to assess the contribution of the Ser190 side-chain to the affinity and selectivity of lead uPA inhibitors in the absence of other differences present in comparisons of natural proteases. Crystallog. and enzymol. involving WT and Ala190 uPA were used to calculate free energy binding contributions of hydrogen bonds involving the Ser190 hydroxyl group (OySer190) responsible for the remarkable selectivity of 6-halo-5-amidinoindole and 6-halo-5-amidinobenzimidazole inhibitors toward uPA and against natural Ala190 protease anti-targets. Crystal structures of uPA complexes of novel, active site-directed arylguanidine and 2-aminobenzimidazole inhibitors of WT uPA, together with associated Ki values for WT and Ala190 uPA, also indicate a significant role of Ser190 in the binding of these classes of uPA inhibitors. Structures and associated Ki values for a lead inhibitor (CA-11) bound to uPA and to five other proteases, as well as for other leads bound to multiple proteases, help reveal the features responsible for the potency (Ki=11 nM) and selectivity of the remarkably small inhibitor, CA-11. The 6-fluoro-5-amidinobenzimidzole, CA-11, is more than 1000-fold selective against natural Ala190 protease anti-targets, and more than 100-fold selective against other Ser190 anti-targets.
IT 498565-28-9
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibitor; crystal structure of proteinase-inhibitor complexes and inhibition kinetics of urokinase-type plasminogen activator wild-type and Ala190 mutant form and other serine proteinases in relation to S1 site)
RN 498565-28-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

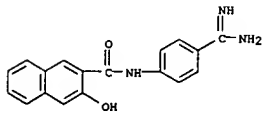


RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

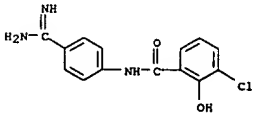
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



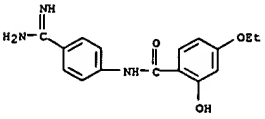
RN 345236-65-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 345236-67-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

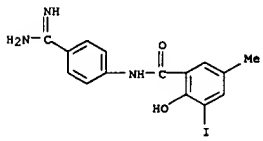


RN 345236-68-2 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-4-ethoxy-2-hydroxy- (9CI) (CA INDEX NAME)



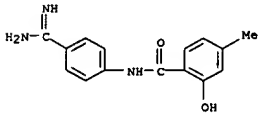
RN 345236-71-7 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-4-methyl- (9CI) (CA

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:510525 CAPLUS
DN 138:180188
TI 4-Aminoarylguanidine and 4-aminobenzamidine derivatives as potent and selective urokinase-type plasminogen activator inhibitors
AU Spencer, Jeffrey R.; McGee, Danny; Allen, Darin; Katz, Bradley A.; Luong, Christine; Sendzik, Martin; Squires, Neil; Mackman, Richard L.
CS Celera, South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2023-2026
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:180188
AB The structure-based design of potent and selective urokinase-type plasminogen activator (uPA) inhibitors with 4-aminoarylamidine or 4-aminoarylguanidine S1 binding groups, is described.
IT **345236-55-7 345236-59-1 345236-65-9**
345236-67-1 345236-68-2 345236-71-7
345236-77-3 345236-83-1 345236-86-4
345236-88-6 345236-90-0 345236-92-2
345236-94-4 345236-96-6 498565-09-6
498565-10-9 498565-11-0 498565-12-1
498565-13-2 498565-14-3 498565-15-4
498565-16-5 498565-17-6 498565-18-7
498565-19-8 498565-20-1 498565-21-2
498565-23-4 498565-25-6 498565-26-7
498565-27-8 498565-28-9 498565-29-0
498565-30-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(aminoarylguanidine and aminobenzamidine derivs. as potent and selective urokinase-type plasminogen activator inhibitors)
RN 345236-55-7 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3-iodo-5-methyl- (9CI)
(CA INDEX NAME)

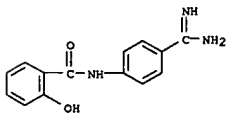


RN 345236-59-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-bromo-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

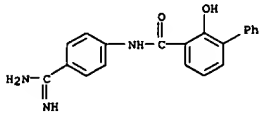
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)



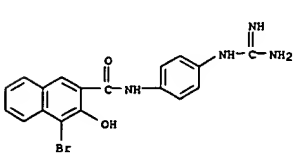
RN 345236-77-3 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 345236-83-1 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

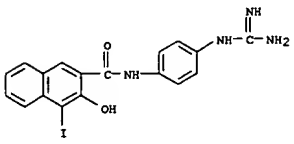


RN 345236-86-4 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-bromo-3-hydroxy- (9CI) (CA INDEX NAME)

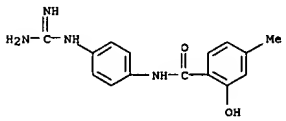


L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

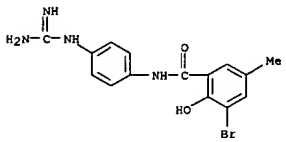
RN 345236-88-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-4-iodo- (9CI) (CA INDEX NAME)



RN 345236-90-0 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

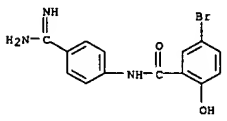


RN 345236-92-2 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-bromo-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

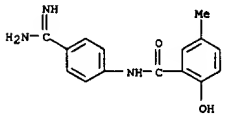


RN 345236-94-4 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-3-iodo-5-methyl- (9CI) (CA INDEX NAME)

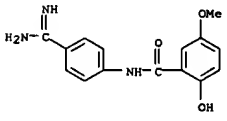
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



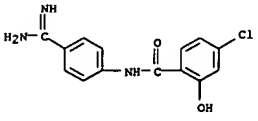
RN 498565-11-0 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



RN 498565-12-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-5-methoxy- (9CI) (CA INDEX NAME)

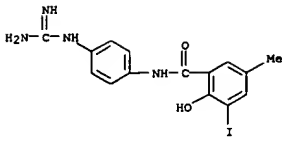


RN 498565-13-2 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-4-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

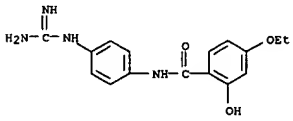


RN 498565-14-3 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

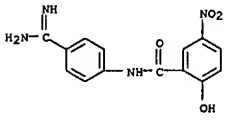
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-96-6 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-ethoxy-2-hydroxy- (9CI) (CA INDEX NAME)

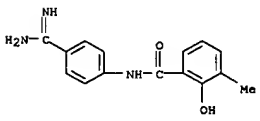


RN 498565-09-6 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

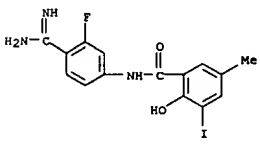


RN 498565-10-9 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-5-bromo-2-hydroxy- (9CI) (CA INDEX NAME)

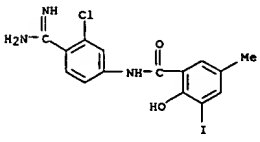
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



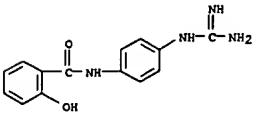
RN 498565-15-4 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)-3-fluorophenyl]-2-hydroxy-3-iodo-5-methyl- (9CI) (CA INDEX NAME)



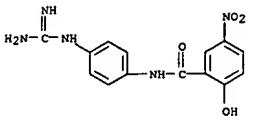
RN 498565-16-5 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)-3-chlorophenyl]-2-hydroxy-3-iodo-5-methyl- (9CI) (CA INDEX NAME)



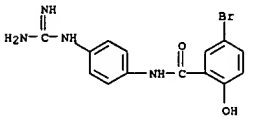
RN 498565-17-6 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



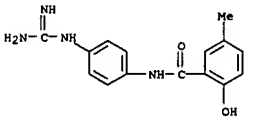
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 498565-18-7 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



RN 498565-19-8 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-5-bromo-2-hydroxy- (9CI) (CA INDEX NAME)

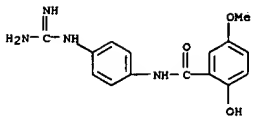


RN 498565-20-1 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

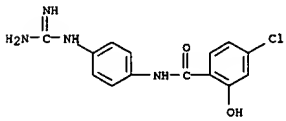


RN 498565-21-2 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-5-methoxy- (9CI) (CA INDEX NAME)

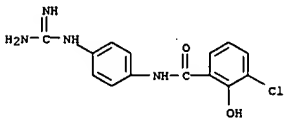
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 498565-23-4 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

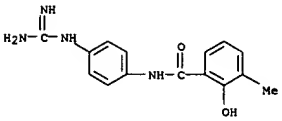


RN 498565-25-6 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

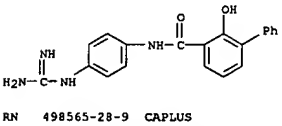


RN 498565-26-7 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

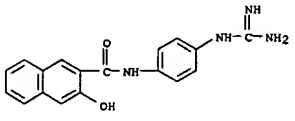
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



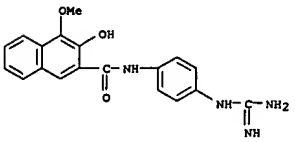
RN 498565-27-8 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 498565-28-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)

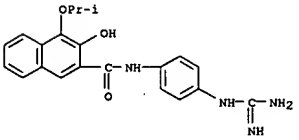


RN 498565-29-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 498565-30-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



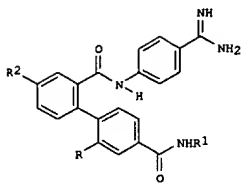
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:332155 CAPLUS
DN 136:355070
TI Preparation of [(carboxybiphenyl)carboxamido]benzamidines and analogs as serine protease inhibitors
IN Babu, Yarlagadda S.; Rowland, Scott R.; Chand, Pooran; Kotian, Pravin L.; El-Kattan, Yahya; Niwas, Shri
PA Biocryst Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 341 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034711	A1	20020502	WO 2001-US32582	20011022
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2426430	AA	20020502	CA 2001-2426430	20011022
	AU 2002013393	A5	20020506	AU 2002-13393	20011022
	EP 1383731	A1	20040128	EP 2001-981772	20011022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004523481	T2	20040805	JP 2002-537705	20011022
	NZ 526003	A	20050930	NZ 2001-526003	20011022
	US 6699994	B1	20040302	US 2002-127460	20020423
	ZA 2003002645	A	20040716	ZA 2003-2645	20030404
	US 2004162281	A1	20040819	US 2003-738027	20031218
	US 6936719	B2	20050830		
PRAI	US 2000-241848P	P	20001020		
	US 2001-281735P	P	20010406		
	WO 2001-US32582	W	20011022		
	US 2002-127460	A3	20020423		

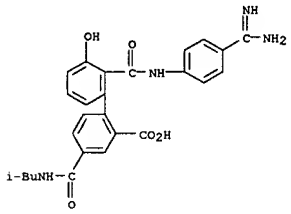
OS MARPAT 136:355070
GI

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [e.g., I; R = H alkoxycarbonyl; R1 = (ar)alkyl, etc.; R2 = alkenyl, (hetero)aryl, etc.], useful as inhibitors of trypsin-like serine protease enzymes such as thrombin, factor VIIa, factor Xa, TF/FVIIa, and trypsin, were prepared Title compds. could be useful to treat and/or prevent clotting disorders, and as anticoagulating agents. Data for biol.
activity of title compds. were given.
IT 420793-74-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(carboxybiphenyl)carboxamido]benzamidines and analogs as
serine protease inhibitors)
RN 420793-74-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[[4-(aminoiminomethyl)phenyl]amino] carbonyl]-3'-hydroxy-4-[[(2-methylpropyl)amino]carbonyl]- (9CI) (CA INDEX
NAME)

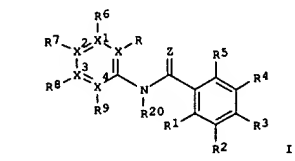


L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN APPLICANT
AN 2001:453001 CAPLUS
DN 135:46002
TI Synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors for treatment of cancer related disorders
IN Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.
PA Axys Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044172	A1	20010621	WO 2000-US34211	20001214
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2394639	AA	20010621	CA 2000-2394639	20001214
	AU 2001021086	A5	20010625	AU 2001-21086	20001214
	US 2002052343	A1	20020502	US 2000-737687	20001214
	EP 1242366	A1	20020925	EP 2000-984472	20001214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003232789	A1	20031218	US 2002-149864	20021024
PRAI	US 1999-170916P	P	19991215		
	WO 2000-US34211	W	20001214		

OS MARPAT 135:46002
GI



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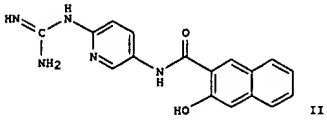


II

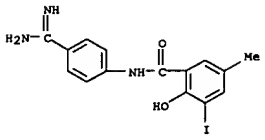
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Compds. I and a process for their synthesis are claimed [wherein: R1 = OH, CO2H, ester, CH2O-, (O)SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)saturated (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O)alkyl/aryl, halo, cyano, (substituted)guanidino/amidino, imidazolin-2-yl, N-amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2-chlorocarbonylnaphthalene was prepared from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy derivative of II. The acetoxy derivative was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 μM for urokinase and Ki = 130 μM for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.

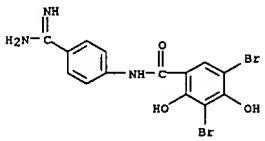
IT **345236-55-7P 345236-56-8P 345236-57-9P**
345236-58-0P 345236-59-1P 345236-60-4P
345236-61-5P 345236-62-6P 345236-63-7P
345236-64-8P 345236-65-9P 345236-66-0P
345236-67-1P 345236-68-2P 345236-69-3P
345236-70-6P 345236-71-7P 345236-72-8P
345236-74-0P 345236-75-1P 345236-76-2P
345236-77-3P 345236-78-4P 345236-79-5P
345236-80-8P 345236-81-9P 345236-82-0P
345236-83-1P 345236-84-2P 345236-85-3P
345236-86-4P 345236-87-5P 345236-88-6P
345236-90-0P 345236-92-2P 345236-94-4P
345236-96-6P 345236-98-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors)

RN 345236-55-7 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3-iodo-5-methyl- (9CI)
(CA INDEX NAME)

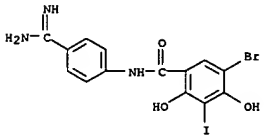
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-56-8 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3,5-dibromo-2,4-dihydroxy- (9CI)
(CA INDEX NAME)

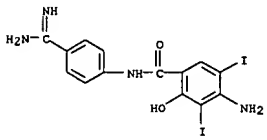


RN 345236-57-9 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-5-bromo-2,4-dihydroxy-3-iodo- (9CI) (CA INDEX NAME)

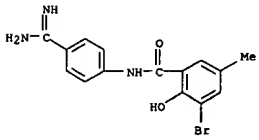


RN 345236-58-0 CAPLUS
CN Benzamide, 4-amino-N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3,5-diiodo- (9CI) (CA INDEX NAME)

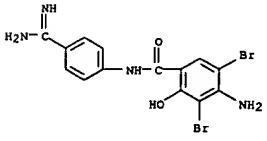
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-59-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-bromo-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

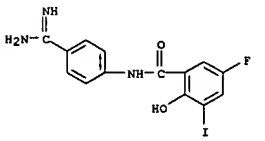


RN 345236-60-4 CAPLUS
CN Benzamide, 4-amino-N-[4-(aminoiminomethyl)phenyl]-3,5-dibromo-2-hydroxy- (9CI) (CA INDEX NAME)

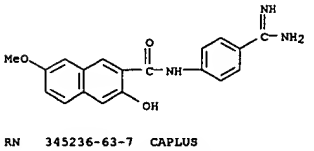


RN 345236-61-5 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-5-fluoro-2-hydroxy-3-iodo- (9CI)
(CA INDEX NAME)

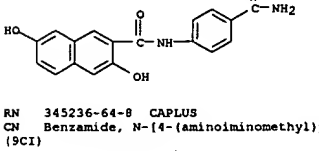
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



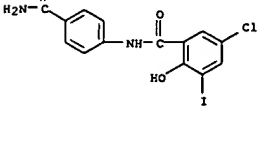
RN 345236-62-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-3-hydroxy-7-methoxy- (9CI) (CA INDEX NAME)



RN 345236-63-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-3,7-dihydroxy- (9CI) (CA INDEX NAME)

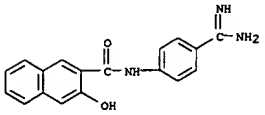


RN 345236-64-8 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-5-chloro-2-hydroxy-3-iodo- (9CI)
(CA INDEX NAME)

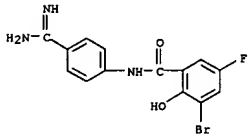


L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

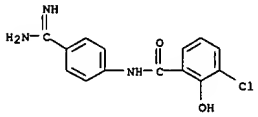
RN 345236-65-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 345236-66-0 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-bromo-5-fluoro-2-hydroxy- (9CI) (CA INDEX NAME)

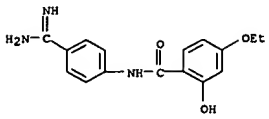


RN 345236-67-1 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

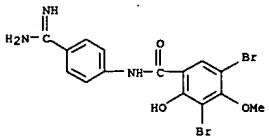


RN 345236-68-2 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-4-ethoxy-2-hydroxy- (9CI) (CA INDEX NAME)

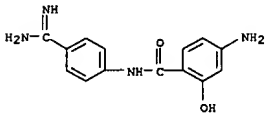
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-69-3 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3,5-dibromo-2-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

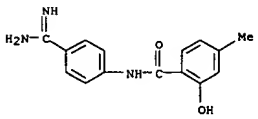


RN 345236-70-6 CAPLUS
CN Benzamide, 4-amino-N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

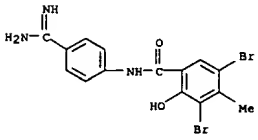


RN 345236-71-7 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

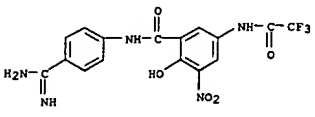
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



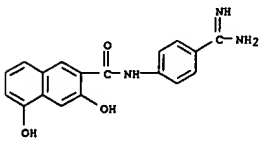
RN 345236-72-8 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-3,5-dibromo-2-hydroxy-4-methyl- (9CI) (CA INDEX NAME)



RN 345236-74-0 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3-nitro-5-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

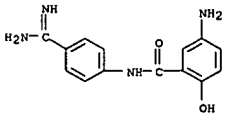


RN 345236-75-1 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)

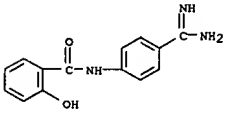


RN 345236-76-2 CAPLUS

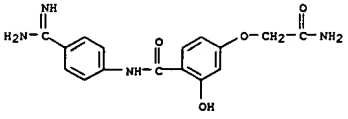
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 5-amino-N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



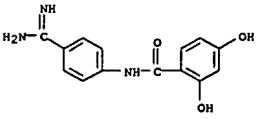
RN 345236-77-3 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 345236-78-4 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-4-(2-amino-2-oxoethoxy)-2-hydroxy- (9CI) (CA INDEX NAME)

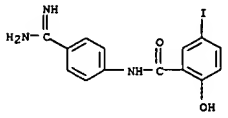


RN 345236-79-5 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2,4-dihydroxy- (9CI) (CA INDEX NAME)

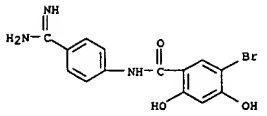


RN 345236-80-8 CAPLUS

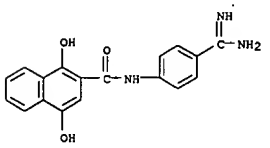
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-5-iodo- (9CI) (CA INDEX NAME)



RN 345236-81-9 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-5-bromo-2,4-dihydroxy- (9CI) (CA INDEX NAME)

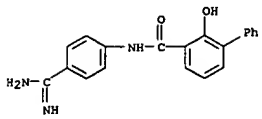


RN 345236-82-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminoiminomethyl)phenyl]-1,4-dihydroxy- (9CI) (CA INDEX NAME)

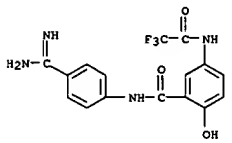


RN 345236-83-1 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

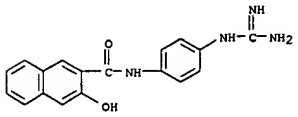
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-84-2 CAPLUS
CN Benzamide, N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-5-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



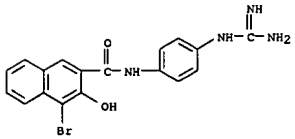
RN 345236-85-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



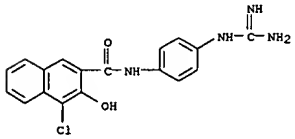
● HCl

RN 345236-86-4 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-bromo-3-hydroxy- (9CI) (CA INDEX NAME)

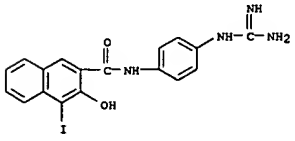
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-87-5 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-chloro-3-hydroxy- (9CI) (CA INDEX NAME)

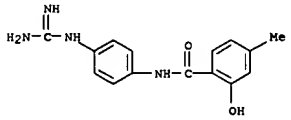


RN 345236-88-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-4-iodo- (9CI) (CA INDEX NAME)

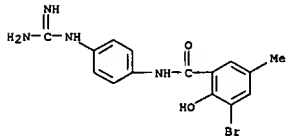


RN 345236-90-0 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

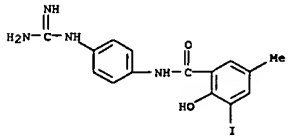
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-92-2 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-3-bromo-2-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

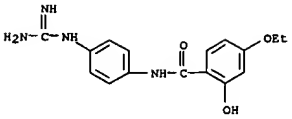


RN 345236-94-4 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-2-hydroxy-3-iodo-5-methyl- (9CI) (CA INDEX NAME)

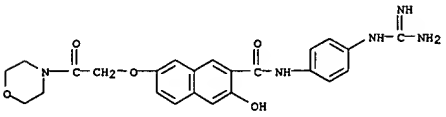


RN 345236-96-6 CAPLUS
CN Benzamide, N-[4-[(aminoiminomethyl)amino]phenyl]-4-ethoxy-2-hydroxy- (9CI) (CA INDEX NAME)

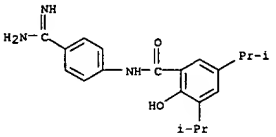
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 345236-98-8 CAPLUS
CN 2-Naphthalenecarboxamide,
N-[4-[(aminoiminomethyl)amino]phenyl]-3-hydroxy-
7-[2-(4-morpholinyl)-2-oxoethoxy]- (9CI) (CA INDEX NAME)



IT **345236-73-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(drug candidate; synthesis and use of amidino/guanidino-arylamino
salicylamides as serine protease inhibitors)
RN 345236-73-9 CAPLUS
CN Benzamide,
N-[4-(aminoiminomethyl)phenyl]-2-hydroxy-3,5-bis(1-methylethyl)-
(9CI) (CA INDEX NAME)

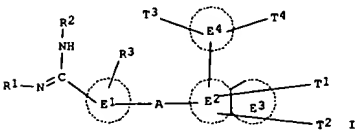


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:529128 CAPLUS
DN 131:184864
TI Preparation of amidinophenylcarbamoylbiphenyl derivatives and heterocyclic
analogs thereof as inhibitors of blood coagulation factor VIIa
IN Senokuchi, Kazuhiko; Ogawa, Koji
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 665 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

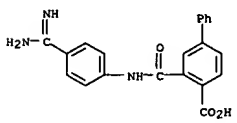
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9941231	A1	19990819	WO 1999-JP622	19990212
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9923006	A1	19990830	AU 1999-23006	19990212
	EP 1078917	A1	20010228	EP 1999-902896	19990212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	ZA 9901273	A	19990825	ZA 1999-1273	19990217
	US 6358960	B1	20020319	US 2000-601998	20000811
PRAI	JP 1998-76815	A	19980217		
	WO 1999-JP622	W	19990212		

OS MARPAT 131:184864
GI

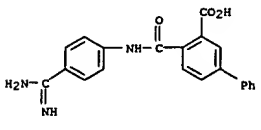


AB The title compds. I [T1 = (R5)q; T2 = (R7)n; T3 = (R6)m; T4 = (R4)p; R1, R2 = H, alkoxycarbonyl, etc.; a proviso is given; R3 = H, alkyl, etc.; ring E1 = unsatd. heterocyclic ring, etc.; ring E2 = unsatd. heterocyclic ring, etc.; ring E3 = unsatd. or saturated heterocyclic ring, etc.; ring E3
may be omitted; ring E4 = unsatd. heterocyclic ring, etc.; R4, R5 = CO2R8,
etc.; R8 = H, alkyl, etc.; p, q = 0, or 1, 2; p + q = 1 or 2; R6, R7 = H, alkyl, etc.; m = 1 - 3; n = 1 - 3] are prepared I are useful as preventives
and/or remedies for various vascular lesions associating accelerated

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
coagulation activity, for example, universal intravascular coagulation syndrome, coronary thrombosis, brain infarction, brain embolism, transient
cerebral ischemic attack, diseases assocg. cerebral vascular disorders, deep vein thrombosis, peripheral embolism, thrombus formation following artificial blood vessel operation or artificial valve replacement, diseases assocg. postoperative thrombus formation, reobstruction and reconstriction following coronary artery bypass, reobstruction and reconstriction following PTCA or PTCR, thrombus formation during extracorporeal circulation and glomerulonephritis. Formulations contg. a compd. of this invention are given. In an in vitro test, 2-[2-(4-amidinophenylcarbamoyl)-6-methoxy-3-pyridyl]-5-[(1(S)-hydroxymethyl-2,2-dimethylpropyl)carbamoyl]benzoic acid methanesulfonic acid salt showed IC50 of 0.013 μM against factor VIIa.
IT **239453-65-7P 239453-66-8P 239457-45-5P**
239457-46-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic
analogs thereof as inhibitors of blood coagulation factor VIIa)
RN 239453-65-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid,
3-[[[4-(aminoiminomethyl)phenyl]amino]c
arbonyl]- (9CI) (CA INDEX NAME)

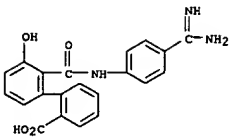


RN 239453-66-8 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid,
4-[[[4-(aminoiminomethyl)phenyl]amino]c
arbonyl]- (9CI) (CA INDEX NAME)



RN 239457-45-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid,
2'-[[[4-(aminoiminomethyl)phenyl]amino]
carbonyl]-3'-hydroxy- (9CI) (CA INDEX NAME)

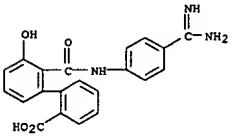
L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 239457-46-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid,
2'-[[[4-(aminoiminomethyl)phenyl]amino)
carbonyl]-3'-hydroxy-, dimethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239457-45-5
CMF C21 H17 N3 O4

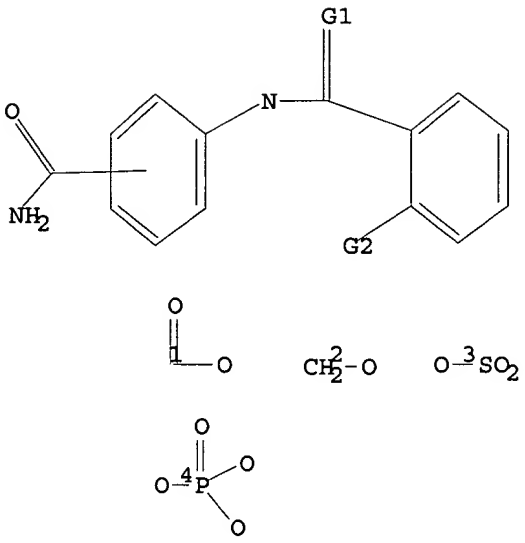


CM 2

CRN 75-75-2
CMF C H4 O3 S

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d que l7 stat
L5 STR



G1 O,S,N,CH2

G2 OH,SO3H,[@1],[@2],[@3],[@4]

Structure attributes must be viewed using STN Express query preparation.
L7 42 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 59441 ITERATIONS 42 ANSWERS
SEARCH TIME: 00.00.01

=> fil capl
FILE 'CAPLUS' ENTERED AT 13:21:31 ON 07 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 7 Mar 2006 VOL 144 ISS 11
FILE LAST UPDATED: 6 Mar 2006 (20060306/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html
'.FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

=> s l7

L8 38 L7

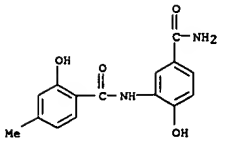
=> d 1-38 bib abs hitstr

L8 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:116947 CAPLUS
TI Compounds for inhibiting copper-containing amine oxidases and their use in
inflammatory disease
IN Olarte, Antonio Zorzano; Mian, Alec; Clauzel, Luc Marti; Exposito, Miriam Royo; Font, Francesc Yraola; Palomera, Fernando Albericio
PA Genmedica Therapeutics SL, Spain
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

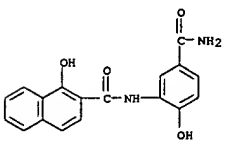
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006013209	A2	20060209	WO 2005-EP53778	20050802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2004-598010P	P	20040802		

AB The present invention is directed to inhibitors of copper-containing amine
oxidases (E.C.1.4.3.6) including semicarbazide-sensitive amine oxidase (SSAO; also known as vascular adhesion protein- 1, VAP-I), and their therapeutic use in inflammatory diseases, diabetes and its associated complications, atherosclerosis, neurodegenerative diseases, obesity, hypertension and cancer.
IT **875520-54-0 875520-60-8 875520-62-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. for inhibiting copper-containing amine oxidases and their uses)
RN 875520-54-0 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-hydroxyphenyl]-2-hydroxy-4-methyl-(9CI)
(CA INDEX NAME)

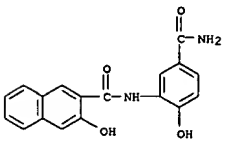
L8 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 875520-60-8 CAPLUS
CN 2-Naphthalenecarboxamide, N-[5-(aminocarbonyl)-2-hydroxyphenyl]-1-hydroxy-(9CI) (CA INDEX NAME)



RN 875520-62-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[5-(aminocarbonyl)-2-hydroxyphenyl]-3-hydroxy-(9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:281801 CAPLUS
DN 142:355169
TI Preparation of 3,5-diaminopiperidine-substituted hetero/aromatic compounds
as antibacterial agents
IN Zhou, Yuefen; Vourloumis, Dionisios; Gregor, Vlad E.; Winters, Geoff; Hermann, Thomas; Ayida, Benjamin; Sun, Zhongxiang; Murphy, Douglas; Simonsen, Klaus Baek
PA Anadys Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005028467	A1	20050331	WO 2004-US30064	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239827	A1	20051027	US 2004-940615	20040915
PRAI US 2003-502612P	P	20030915		
US 2004-548852P	P	20040302		

OS MARPAT 142:355169
GI

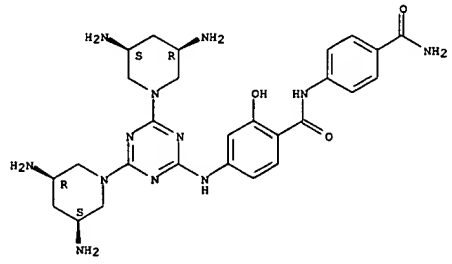
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = 5- or 6-membered mono- or bicyclic hetero/aryl; M1, M2 = independently H, halo, CF3, CN, CONH2, (un)substituted hetero/aryl, heterocycloalkyl, Xn = independently H, halo, CF3, CN, CO2H, OH, NH2, NO2, etc.; n = 1-3; and their pharmaceutically acceptable salts, hydrates and solvates] were prepared as antibacterial agents. For example, II•5HCl was prepared by acylation of 2-hydroxy-4-nitroaniline with 2-(3-indolyl)-2-oxoacetyl chloride, reduction of the nitro intermediate, reaction with cyanuric acid, amination with cis-3,5-bis(tert-butoxycarbonylamino)piperidine, and BOC-deprotection. Selected I showed a min. inhibitory concentration (MIC) < 16 μg/mL against E. coli or S. aureus. I are useful in the treatment of bacterial infections in mammals, especially humans.
IT **849155-41-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial; preparation of 3,5-diaminopiperidine-substituted hetero/aromatic compds. as antibacterial agents)

L8 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 849155-41-5 CAPLUS
CN Benzamide, N-[4-(aminocarbonyl)phenyl]-4-[[4,6-bis[(3R,5S)-3,5-diamino-1-piperidinyl]-1,3,5-triazin-2-yl]amino]-2-hydroxy-, monohydrochloride, rel-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

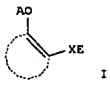
● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:76258 CAPLUS
DN 142:148826
TI Chromatosis remedies
IN Itai, Akiko; Muto, Susumu
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005007151	A1	20050127	WO 2004-JP10558	20040716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI JP 2003-197807	A	20030716		

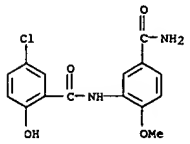
OS MARPAT 142:148826
GI



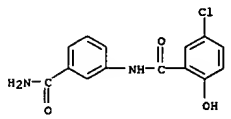
I

AB Preventive and/or therapeutic drugs for chromatosis and/or skin cancer, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts of the same, and hydrates and solvates thereof: (I) wherein X is a connecting group whose main chain has 2 to 5 atoms (which group may be substituted); A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above).
IT **634185-28-7 634185-85-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

L8 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(Biological study); USES (Uses)
(trifluoromethylphenylchlorohydroxybenzamide analogs as chromatosis and skin cancer remedies and skin whitening cosmetics)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)



RN 634185-85-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

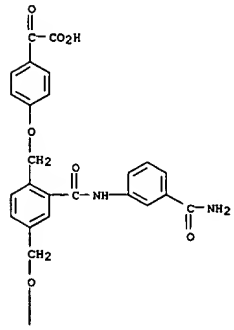


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

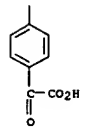
L8 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:420503 CAPLUS
DN 141:291055
TI Parallel synthesis of a library of bidentate protein tyrosine phosphatase inhibitors based on the α-ketoacid motif
AU Chen, Yen Ting; Seto, Christopher T.
CS Department of Chemistry, Brown University, Providence, RI, 02912, USA
SO Bioorganic & Medicinal Chemistry (2004), 12(12), 3289-3298
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB Protein tyrosine phosphatases (PTPases) regulate intracellular signal transduction pathways by controlling the level of tyrosine phosphorylation in cells. These enzymes play an important role in a variety of diseases including type II diabetes and infection by the bacterium Yersinia pestis, which is the causative agent of bubonic plague. This report describes the synthesis, using parallel solution-phase methods, of a library of 104 potential inhibitors of PTPases. The library members are based on the bis(aryl α-ketocarboxylic acid) motif that incorporates a carboxylic acid on the central benzene linker. This carboxylic acid was coupled with a variety of different aromatic amines through an amide linkage. The aromatic component of the resulting amides is designed to make contacts with residues that surround the active site of the PTPase. The library was screened against the Yersinia PTPase and PTP1B. Based upon the screening results, four members of the library were selected for further study. These four compds. were evaluated against the Yersinia PTPase, PTP1B, TCPTP, CD45, and LAR. Compound 14 has an IC50 value of 590 nM against PTP1B and is a reversible competitive inhibitor. This affinity represents a greater than 120-fold increase in potency over compound 2, the parent structure upon which the library was based. A second inhibitor, compound 12, has an IC50 value of 240 nM against the Yersinia PTPase. In general, the selectivity of the inhibitors for PTP1B was good compared to LAR, but modest when compared to TCPTP and CD45.
IT **845254-04-8P 845254-05-9P**
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(combinatorial library of bidentate protein tyrosine phosphatase inhibitors based on α-ketoacid motif)
RN 845254-04-8 CAPLUS
CN Benzeneacetic acid, 4,4'-[[2-[[[3-(aminocarbonyl)phenyl]amino]carbonyl]-1,4-phenylene]bis(methyleneoxy)]bis[α-oxo- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



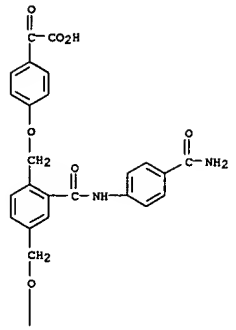
PAGE 2-A



RN 845254-05-9 CAPLUS
CN Benzeneacetic acid, 4,4'-[[2-[[[4-(aminocarbonyl)phenyl]amino]carbonyl]-1,4-phenylene]bis(methyleneoxy)]bis[α-oxo- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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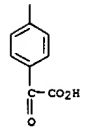
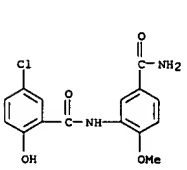
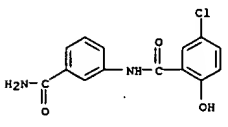


RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
degranulation.
IT **634185-28-7P 634185-85-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. for treatment of allergic diseases)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)



RN 634185-85-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

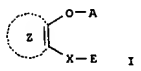


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991345 CAPLUS
DN 140:42216
TI Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488367	AA	20031218	CA 2003-2488367	20030605
AU 2003242103	A1	20031222	AU 2003-242103	20030605
EP 1514544	A1	20050316	EP 2003-730831	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-165148	A	20020606		
WO 2003-JP7120	W	20030605		

OS MARPAT 140:42216
GI



AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates
thereof are prepared for the treatment of allergic diseases, endometriosis,
and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide
s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell

L8 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991339 CAPLUS
DN 140:42204
TI Preparation of immunity-related protein kinase inhibitors
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103658	A1	20031218	WO 2003-JP7130	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487900	AA	20031218	CA 2003-2487900	20030605
AU 2003242131	A1	20031222	AU 2003-242131	20030605
EP 1510210	A1	20050302	EP 2003-730840	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006019958	A1	20060126	US 2005-515343	20050801
PRAI JP 2002-164525	A	20020605		
WO 2003-JP7130	W	20030605		

OS MARPAT 140:42204
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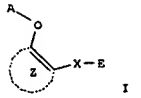
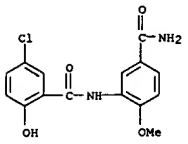
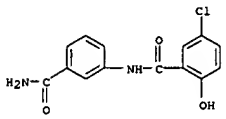


AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is
arene which may have a substituent in addition to the groups represented by
the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A
is as defined above) and X-E (wherein X and E are as defined above)] are prepared Compds. of this invention in vitro at 1 μg/mL gave 90% to 92.6%
inhibition of NF-κB activation.
IT **634185-28-7P 634185-85-6P**

L8 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of immunity-related protein kinase inhibitors)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)



RN 634185-85-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991338 CAPLUS
DN 140:42203
TI Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives for preventive and/or therapeutic drugs for neurodegenerative diseases and epilepsy
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103657	A1	20031218	WO 2003-JP7128	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488979	AA	20031218	CA 2003-2488979	20030605
AU 2003242124	A1	20031222	AU 2003-242124	20030605
EP 1555018	A1	20050720	EP 2003-730838	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006035944	A1	20060216	US 2005-516293	20050810
PRAI JP 2002-169640	A	20020611		
WO 2003-JP7128	W	20030605		

OS MARPAT 140:42203
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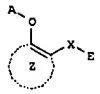
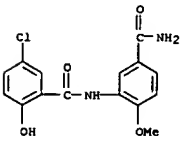


I

AB Disclosed are preventive and/or therapeutic drugs for (1) neurodegenerative diseases including Alzheimer's disease and (2) epilepsy,
which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted

L8 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
benzothiazol-2-yl); and Z is arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. These compds. I are effective for the prevention and/or treatment of Alzheimer's disease and (2) epilepsy based on the simultaneous inhibition of activated protein 1 (AP-1) and transcription factor NF-κB activation. The compds. I including N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. exhibited the inhibition of (1) TNF-α-stimulated activation of NF-κB in HepG2 cells, (2) TNF-α-stimulated activation of Hela cells, and (3) the activation of AP-1 in HepG2 cells transfected with MEKK-1 expression plasmid. In an Alzheimer's model animal assay, N-[3,5-bis(trifluoromethyl)phenyl]-5-chloro-2-hydroxybenzamide inhibited the memory formation failure in rats injected with human β-amyloid to the hippocampus.
IT **634185-28-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide preventive and/or therapeutic drugs for Alzheimer's disease and epilepsy)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)

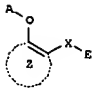


RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991336 CAPLUS
DN 140:42202
TI Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as anticancer agents
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103655	A1	20031218	WO 2003-JP7121	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488974	AA	20031218	CA 2003-2488974	20030605
AU 2003242108	A1	20031222	AU 2003-242108	20030605
EP 1535610	A1	20050601	EP 2003-730832	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006014811	A1	20060119	US 2005-516292	20050705
PRAI JP 2002-168332	A	20020610		
WO 2003-JP7121	W	20030605		

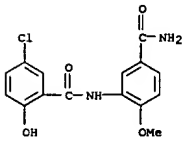
OS MARPAT 140:42202
GI



I

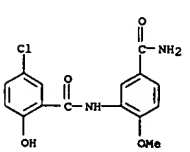
AB Disclosed are drugs for the prevention and/or treatment of cancer, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition
to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addition to the groups represented by the

L8 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. The compds. I including N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. in vitro inhibited the proliferation of Jurkat, MIA PACA-2, RD, HepG2, and A549 human cancer cells. N-[3,5-bis(trifluoromethyl)phenyl]-4-chloro-2-hydroxybenzamide in vitro inhibited the proliferation of B16 melanoma, HT-1080 fibrosarcoma, NB-1 neuroblastoma, and HMC-1-8 breast cancer cells and in vivo metastasis of B16 melanoma in mice.
IT **634185-28-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivs. as anticancer agents)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. Also disclosed are (1) inhibitors against prodn. and release of inflammatory mediators and immunosuppressants and (2) drugs for prevention
and/or treatment of chronic articular rheumatism. The compds. I including
N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. exhibited the inhibition of (1) TNF-α-stimulated activation of NF-κB (2) TNF-α-stimulated prodn. of IL-6, IL-8, and PGE2 in human synoviocyte (RA-pos.) cells, (3) collagen-induced inflammation in mice, (4) myocardial ischemic reperfusion disorder in rats, and (5) proliferation of smooth muscle cells of normal coronary artery blood vessel. Some com. available compds. were selected as NF-κB inhibitors (ligands) by virtual screening using a three-dimensional database automated retrieval software based on a protein structure of NF-κB. The activity of the selected compds. were confirmed by reporter assay for inhibition of TNF-α-stimulated activation of NF-κB and an assay for inhibition of NF-α-stimulated prodn. of inflammatory mediators.
IT **634185-28-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivs. as transcription factor NF-κB activation inhibitors)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)

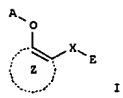


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991335 CAPLUS
DN 140:42201
TI Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as transcription factor NF-κB activation inhibitors
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103654	A1	20031218	WO 2003-JP7119	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489091	AA	20031218	CA 2003-2489091	20030605
AU 2003242098	A1	20031222	AU 2003-242098	20030605
EP 1535609	A1	20050601	EP 2003-730830	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-168924	A	20020610		
WO 2003-JP7119	W	20030605		

OS MARPAT 140:42201
GI



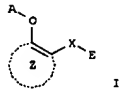
I

AB Disclosed are drugs having an inhibitory activity against transcription factor NF-κB activation, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates
and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition to the groups represented

L8 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991330 CAPLUS
DN 140:27850
TI Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103648	A1	20031218	WO 2003-JP7131	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488342	AA	20031218	CA 2003-2488342	20030605
AU 2003242137	A1	20031222	AU 2003-242137	20030605
EP 1510207	A1	20050302	EP 2003-730841	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-164524	A	20020605		
WO 2003-JP7131	W	20030605		

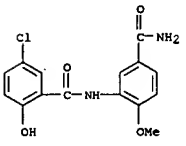
OS MARPAT 140:27850
GI



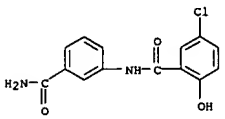
I

AB Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, containing as the active ingredient substances
selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition
to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500

L8 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I improve insulin resistance by specifically inhibiting IKK-β (I κB kinase β).
IT **634185-28-7P 634185-85-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications)
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)



RN 634185-85-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)

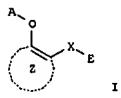


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991329 CAPLUS
DN 140:27849
TI Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103647	A1	20031218	WO 2003-JP7129	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487891	AA	20031218	CA 2003-2487891	20030605
AU 2003242127	A1	20031222	AU 2003-242127	20030605
EP 1512396	A1	20050309	EP 2003-730839	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-164526	A	20020605		
WO 2003-JP7129	W	20030605		

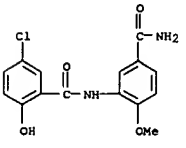
OS MARPAT 140:27849
GI



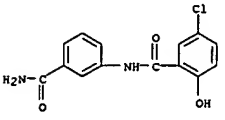
I

AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a

L8 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
substituent in addn. to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepd. The compds. I can exhibit the inhibitory activity against releasing inflammatory cytokines, inflammatory activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.
IT **634185-28-7P 634185-85-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. as inhibitors against activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT))
RN 634185-28-7 CAPLUS
CN Benzamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-5-chloro-2-hydroxy- (9CI)
(CA INDEX NAME)

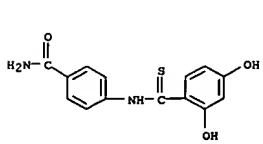


RN 634185-85-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-5-chloro-2-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

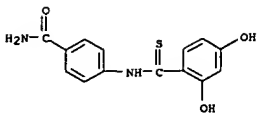
L8 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:900098 CAPLUS
DN 138:130647
TI QSAR by molecular topology of 2,4-dihydroxythiobenzanilides - a virtual screening approach to optimize the antifungal activity
AU Garcia-Domenech, R.; Catala, A. I.; Garcia-Garcia, A.; Soriano, A.; Perez-Mondejar, V.; Galvez, J.
CS Unidad de Investigacion de Diseno de Farmacosy Conectividad Molecular. Departamento de Quimica Fisica. Facultat de Farmacia. Universitat de Valencia, Valencia, 46100, Spain
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2002), 41B(11), 2376-2384
CODEN: IJSBDB; ISSN: 0376-4699
PB National Institute of Science Communication
DT Journal
LA English
AB Mol. topol. has been successfully used to get QSAR models able to predict the antifungal activity of 2,4-dihydroxythiobenzilanilides. Minimal inhibition concns. (MIC) from different Epidermophyton floccosum, Microsporum gypseum and Trichophyton interdigitale strains are used as key properties to evaluate. The results obtained establish the high efficiency of mol. topol. in the prediction of such MIC values (errors about ±1 dilution or lower in 97% of the data). Cross-validation by leave-one-out tests have been also realized to study the stability of the connectivity functions selected. Some structure-activity relations have been studied as well. From them, it stands out the presence, on all the selected equations, of the ST(-OH) descriptor which takes into account the lipophyllic character of compds. what, accordingly, should play a important role over the antifungal activity. A virtual screening to optimize such activity was also performed leading to clear improvement, particularly on the prediction of activity for the Microsporum gypseum strain.
IT **208991-55-3**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR by mol. topol. of 2,4-dihydroxythiobenzanilides for screening antifungal activity)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

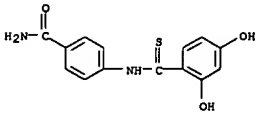
L8 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:430956 CAPLUS
DN 135:118974
TI New approach for estimation of the biological activity of antimycotic substances
AU Zabinska, Anna; Rozylo, Jan K.; Matysiak, Joanna; Niewiadomy, Andrzej
CS Faculty of Chemistry, M. Curie-Sklodowska University, Lublin, 20-031, Pol.
SO Journal of Planar Chromatography--Modern TLC (2000), 13(6), 420-425
CODEN: JPCTE5; ISSN: 0933-4173
PB Research Institute for Medicinal Plants
DT Journal
LA English
AB Reversed-phase, high-performance, thin-layer chromatog. data have been used to determine physicochem. parameters (retention factors, log kw, and hydrophobicity, A12) describing the structural properties and phase affinity of 2,4-dihydroxythiobenzanilides. The retention factors (log kw) in pure water were determined by linear extrapolation from the exptl. relationship between log k and the concentration of organic modifier in the mobile phase. Special attention was paid to the chromatog. hydrophobicity, A12, which is an expression of intermol. interactions between a solute and a two-phase liquid system. A12 was derived from a thermodn. equation which assumes mixed adsorption and partition in the formation of the stationary phase, and a partition mechanism of solute distribution between the mobile and stationary phases. The parameters obtained were further used to estimate the hydrophobic character and biol. activity of the compds. examined The results suggested that A12 can be used as an indicator of the dependence of hydrophobicity on phase affinity and substituent location. The good parabolic relationship between antifungal activity and A12 values for the 2,4-dihydroxythiobenzanilides examined enabled the proposal that A12 can be used as a new physicochem. property in quant. structure-activity relationship studies to predict biol. activity.
IT **208991-55-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(biol. activity of antimycotic substances)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



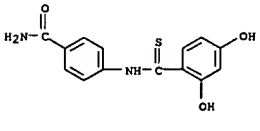
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:418899 CAPLUS
DN 135:58391
TI The antibacterial activity of some 2,4-dihydroxythiobenzanilides substituted in the N-aryl ring
AU Niewiadomy, Andrzej; Matysiak, Joanna; Macik-Niewiadomy, Grazyna
CS Chem. Dep., Univ. of Agriculture, Lublin, 20-950, Pol.
SO Pestycydy (Warsaw) (2000), (3-4), 43-51
CODEN: PSTYDL; ISSN: 0208-8703
PB Instytut Przemyslu Organicznego
DT Journal
LA English
AB The bacteriostatic activity of 25 new compds. belonging to the group of 2,4-dihydroxythiobenzanilides was investigated. The MIC (Min. Inhibitory Concentration) assessment was used for estimation of in vitro potential activity. The study showed that compds. exhibited fairly inhibitory action against Gram-pos. cells (MIC ≥ 3.9 μg/mL) and were fully inactive against Gram-neg. cells (MIC ≥ 250 μg/mL). The strongest bacteriostatic effect of 4'-iodine-2,4-dihydroxythiobenzanilide on some tested strains was observed, for which MIC = 3.9 μg/mL. Antibacterial activity of 2,4-dihydroxythiobenzanilides appears to be related to lipophilicity of mol., expressed by RMW.
IT **208991-55-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of 2,4-dihydroxythiobenzanilides substituted in N-aryl ring)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



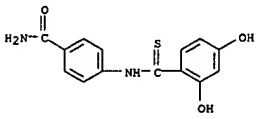
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:389961 CAPLUS
DN 135:177886
TI In vitro evaluation of 2,4-dihydroxythiobenzanilides against various moulds
AU Niewiadomy, A.; Matysiak, J.; Macik-Niewiadomy, G.
CS Department of Chemistry, University of Agriculture, Lublin, 20-950, Pol.
SO European Journal of Pharmaceutical Sciences (2001), 13(3), 243-248
CODEN: EPSCED; ISSN: 0928-0987
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB The antimycotic potency of 2,4-dihydroxythiobenzanilide derivs. was tested. The MIC assessments by an agar dilution method were used for the estimation of potential activity in vitro against the 4 mold strains: Scopulariopsis brevicaulis, Aspergillus niger, Aspergillus fumigatus, and Penicillium sp. The strongest fungistatic activity was observed for 3'-fluoro-derivative (MIC 7.82 μg/mL). It was stated that the inhibition action of these compds. depends mainly on lipophilicity of mols. Parabolic relationships between the antimycotic activity and lipophilicity were found.
IT **208991-55-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro antimycotic effects of 2,4-dihydroxythiobenzanilides against molds)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



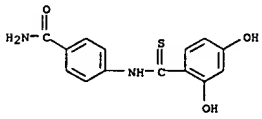
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:398019 CAPLUS
DN 133:174531
TI Dependence of fungistatic activity of 2,4-dihydroxythiobenzanilides on the
structure and lipophilic nature of the compounds
AU Matysiak, Joanna; Niewiadomy, Andrzej; Macik-Niewiadomy, Grazyna; Kornillowicz, Teresa
CS Department of Chemistry, University of Agriculture, Lublin, 20-950, Pol.
SO European Journal of Medicinal Chemistry (2000), 35(4), 393-404
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB The quant. dependencies of in vitro fungistatic action on the physico-chemical parameters connected with the structure of 2,4-dihydroxythiobenzanilides were investigated. The action of these compds. depends on lipophilicity determined by substitution of the N-aryl moiety and on electron properties of mols. The lipophilicity expressed by
RMw values was determined in a reversed-phase system (HPTLC). The changes in
the nature of the thioamide bond were interpreted on the basis of UV and EI-MS spectra.
IT 208991-55-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); BIOL (Biological study)
(dependence of fungistatic activity of 2,4-dihydroxythiobenzanilides on
structure and lipophilic nature of the compds.)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



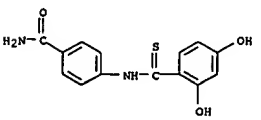
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:187914 CAPLUS
DN 133:14496
TI In vitro inhibition properties of a new group of thiobenzanilides in relation to yeasts
AU Matysiak, J.; Niewiadomy, A.; Macik-Niewiadomy, G.
CS Dep. Chem., Univ. Agric., Lublin, 20-950, Pol.
SO European Journal of Pharmaceutical Sciences (2000), 10(2), 119-123
CODEN: EPSCED; ISSN: 0928-0987
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB The antifungal potency of a series of 2,4-dihydroxythiobenzanilides was tested. MIC assessments were used for the estimation of potential activity in
vitro against Candida, Cryptococcus, Geotrichum and Trichosporon species. The strongest fungistatic activity was observed for dichloro derivs. (MIC 7.82-31.21 μg/mL). The action of these compds. depends on
lipophilicity, determined by the substitution of N-aryl moiety and the electron
properties of mols. The lipophilicity, expressed by RMw values, was determined
in the reversed-phase system. The changes in the nature of the thioamide bond were interpreted on the basis of UV and 1H NMR spectra.
IT 208991-55-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro inhibition properties of a new group of thiobenzanilides in relation to yeasts)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:102429 CAPLUS
DN 132:245849
TI Use of reversed-phase high-performance liquid chromatography in QSAR analysis of 2,4-dihydroxythiobenzanilide analogs
AU Jozwiak, K.; Szumilo, H.; Senczyna, B.; Niewiadomy, A.
CS Department of Inorganic and Analytical Chemistry, Medical University of Lublin, Lublin, 20-081, Pol.
SO SAR and QSAR in Environmental Research (1999), 10(6), 509-532
CODEN: SQERED; ISSN: 1062-936X
PB Gordon & Breach Science Publishers
DT Journal
LA English
AB Thiobenzanilides are found to show strong biol. activity as antimicrobial,
antimycotic, and tuberculostatic agents. In addition, they are relatively
weakly toxic to higher organisms. A large set of new (N-phenyl-)-2,4-dihydroxybenzenecarbothioamide derivs. was obtained. Preliminary studies showed high microbiol. action of some of them. In the process of chromatog. anal., several different chromatog. parameters were obtained. In case of RP-HPLC, these parameters correspond to hydrophobicity of the solute. Obtained chromatog. parameters exhibited moderate correlation with calculated log P parameter. Linear dependence of bacteriostatic or fungostatic activity on lipophilicity was observed The degree of correlation
of different parameters was compared. The lipophilicity of analyzed tioamides was the most important factor responsible for fungostatic and bacteriostatic activity. In comparison to methanol eluent system, chromatog. parameters obtained in acetonitrile system were better correlated with bioactivity. Conversely with the calculated log P values, the
exptl. derived parameters exhibited significant higher correlation to fungostatic activity determined on dermatophytes. While in case of other tested microorganisms log P was comparably or sometimes slightly better correlated.
IT 208991-55-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reversed-phase HPLC in QSAR anal. of dihydroxythiobenzanilide analogs as antimicrobial agents)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:708728 CAPLUS
DN 131:322427
TI Benzamide, naphthalenecarboxamide, arylacetamide, arenesulfonamide, carbamate, thiocarbamate, and benzylamine inhibitors of inosine-5'-monophosphate dehydrogenase
IN Saunders, Jeffrey; Elbaum, Daniel; Novak, Perry; Naegele, Douglas; Bethiel, Scott; Ronkin, Steven; Badia, Michael; Frank, Catharine; Stamos, Dean; Walters, William; Pearlman, David
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9955663	A1	19991104	WO 1999-US9005	19990426
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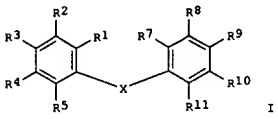
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9936651	A1	19991116	AU 1999-36651	19990426
	EP 1076641	A1	20010221	EP 1999-918831	19990426
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6653309	B1	20031125	US 2000-702991	20001030
	US 2004087650	A1	20040506	US 2003-671967	20030925
PRAI	US 1998-83385P	P	19980429		
	WO 1999-US9005	W	19990426		
	US 2000-702991	A3	20001030		

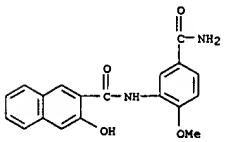
OS MARPAT 131:322427
GI



I

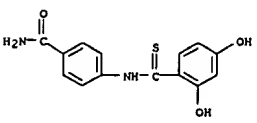
AB The present invention relates to compds. I (X = e.g., CONR6, NR6CO, CH2NR6, NR6CH2, NR6SO2, SO2NR6, NR6COY, YCONR6; R6 = e.g., H, C1-4 straight or branched alkyl, C2-4 straight or branched alkenyl or alkynyl; Y = e.g., O, S, C.tplbond.C; each of the R1-R5, R7-R11 is independently,

L8 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
e.g., H, halo, hydroxy, cyano, nitro, amino) which inhibit inosine-5'-monophosphate dehydrogenase (IMPDH). This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting IMPDH enzyme activity and consequently, may be advantageously used as therapeutic agents for IMPDH mediated processes. This invention also relates to methods for inhibiting the activity of IMPDH using the compds. of this invention and related compds. Thus, e.g.,
amidation of 3-hydroxy-2-naphthalenecarboxylic acid with 2-methoxyaniline afforded N-(2-methoxyphenyl)-3-hydroxy-2-naphthalenecarboxamide which inhibited IMPDH activity with Ki < 10 μM.
IT **248251-36-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzamide, naphthalenecarboxamide, arylacetamide, arenesulfonamide, carbamate, thiocarbamate, and benzylamine inhibitors of inosine-5'-monophosphate dehydrogenase)
RN 248251-36-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[5-(aminocarbonyl)-2-methoxyphenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



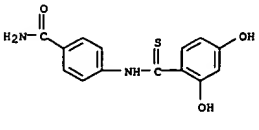
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:323067 CAPLUS
DN 130:322854
TI RPTLC investigation of the hydrophobicity and biological activity of new fungicidal compounds
AU Rozylo, Jan K.; Niewladomy, Andrzej; Zabinska, Anna; Matysiak, Joanna
CS Faculty of Chemistry, M. Curie-Sklodowska University, Lublin, 20-031, Pol.
SO Journal of Planar Chromatography--Modern TLC (1998), 11(6), 450-456
CODEN: JPCTE5; ISSN: 0933-4173
PB Research Institute for Medicinal Plants
DT Journal
LA English
AB Reversed-phase thin-layer chromatog. (RPTLC) has been used to evaluate the
hydrophobicity and antimycotic activity of dihydroxythiobenzanilides, newly synthesized bioactive compds. with fungicidal properties. The retention behavior of the compds. has been examined with water-acetone or water-methanol as mobile phases and the linear relationship between the volume fraction of the organic modifier and the logarithm of the capacity factor was established for every solute over a limited range. I was shown
that the theor. capacity factor obtained by extraoolates to pure aqueous mobile phase of retention data for the water-organic modifier systems was suitable for quant. description of the hydrophobicity of the solutes in a way closely related to the lipophilicity Hansch parameters. Deviations from this relationship were found for compds. with substituents which participate in strong intramol. interactions. The equation describing the
structure-activity relationship (QSAR) indicated the importance of the hydrophobic character and the structure of substituents in determining the
antimycotic activity of the compds. The examined dependencies were more statistically significant for acetone-water systems than for those employing methanol-water, thus implying the greater suitability of acetone
as organic modifier in QSAR studies of the investigated compds.
IT **208991-55-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(hydrophobicity and biol. activity of new fungicidal compds.)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



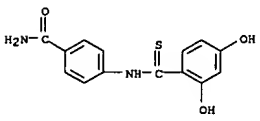
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:266970 CAPLUS
DN 131:96876
TI Reversed - phase HPTLC and structure - activity relationship for fungicidal substances
AU Rozylo, Jan. K.; Zabinska, Anna; Matysiak, Joanna; Niewiadomy, Andrzej
CS Faculty of Chemistry, M. Curie-Sklodowska University, Lublin, Pol.
SO Chemical & Environmental Research (1998), 7(1 & 2), 65-75
CODEN: CEREEH; ISSN: 0971-2151
PB Muslim Association for the Advancement of Science
DT Journal
LA English
AB TLC parameters were used in quant. structure-activity relationship studies
(QSAR) for the prediction of biol. activity of new resynthesized bioactive
compds. The retention behavior of fifteen antimycotic agents from the group of dihydroxythiobenzanilides in a reversed- phase high-performance thin- layer chromatog. (RP-HPTLC) system has been examined Using water-acetone as the mobile phase, the linear relationship between the volume fraction of the organic modifier and the logarithm of the capacity factor over a limited range was established for every solute. It was shown that the theor. capacity factor obtained by extrapolation of retention data in binary solvent system to pure aqueous eluent was suitable
for quant. description of the hydrophobic nature of solutes in a way which
is closely related to the calculated partition coefficient of the standard
n-octanol-water partitioning system. Deviations from this relationship were found for the compds. with substituents which exert strong intramol. interactions. The equation describing the structure-activity relationship
indicated the importance of hydrophobic character and structure of substituents in determining the antimycotic activity of examined compds.
IT **208991-55-3**
RL: ANT (Analyte); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(Reversed - phase HPTLC and structure - activity relationship for fungicidal substances)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



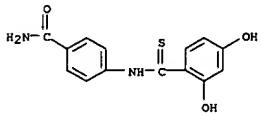
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:45637 CAPLUS
DN 130:231606
TI Structure and retention of 2,4-dihydroxythiobenzanilides in a reversed-phase system
AU Matysiak, J.; Niewiadomy, A.; Zabinska, A.; Rozylo, J. K.
CS Department of Chemistry, University of Agriculture, Lublin, 20-950, Pol.
SO Journal of Chromatography, A (1999), 830(2), 491-496
CODEN: JCRAEY; ISSN: 0021-9673
PB Elsevier Science B.V.
DT Journal
LA English
AB The effect of substitution of the N-amide system of 2,4-dihydroxythiobenzanilides on retention in a reversed-phase HPTLC system using methanol as an organic modifier was studied. The linear relation between RM and the volume fraction of organic solvent for all 60 tested compds. was obtained. These relations allowed determination of the hydrophobicity indexes, RMW, of these compds. using the extrapolation method. From anal. data obtained from anal. of UV-visible and 1H NMR spectra the effect of substitution on the charge distribution in the amide system and the effect of this distribution on phase separation in relation to theor. values is discussed.
IT **208991-55-3**
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(structure and retention of 2,4-dihydroxythiobenzanilides in reversed-phase high performance TLC)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

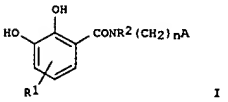
L8 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:260109 CAPLUS
DN 129:62397
TI The use of RP-HPTLC for modeling the hydrophobicity of fungicides
AU Rozylo, J. K.; Matysiak, J.; Gumieniak, A.; Niewiadomy, A.
CS Fac. Chemistry, M. Curie-Sklodowska Univ., Lublin, 20031, Pol.
SO Polish Journal of Environmental Studies (1998), 7(1), 35-38
CODEN: PJESE2; ISSN: 1230-1485
PB HARD Publishing Co.
DT Journal
LA English
AB The retention behavior of 18 antifungal dihydroxythiobenzanilides with reversed-phase thin-layer chromatog. was examined Using water-acetone as the mobile phase, a linear relationship between the volume fraction of the organic solvent and the log k' values was obtained for all tested solvents.
With the RP-18W plates as stationary phase, the hydrophobic parameters of the examined fungicides can be easily determined The log kw' values were extrapolated from the linear relationships of the retention data in binary solvent systems to pure water. The good correlation between the log k' and S values from the TLC equation supported the validity of the extrapolation procedure. From the correlation between the log kw' values of the dihydroxythiobenzanilides and their antimicrobial activity, predictions on the biol. activity of the fungicides can be derived.
IT **208991-55-3**
RL: ANT (Analyte); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)
(modeling of hydrophobicity of antifungal dihydroxythiobenzanilides with reversed-phase TLC)
RN 208991-55-3 CAPLUS
CN Benzamide, 4-[[(2,4-dihydroxyphenyl)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



L8 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:513596 CAPLUS
DN 125:167581
TI Preparation of hydroxybenzamide derivatives as prevention and treatment agents for bone diseases
IN Nomoto, Takashi; Kawakami, Kumiko; Akagawa, Akiko; Matsuyama, Kenji; Torigoe, Koichiro
PA Banyu Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08143525	A2	19960604	JP 1994-311235	19941121
PRAI JP 1994-311235		19941121		

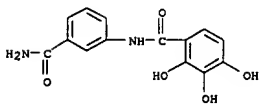
OS MARPAT 125:167581
GI



I

AB The title bone disease inhibitors contain a compound (I) [R1 = H, halo, OH, NO2, lower alkyl, lower alkoxy; R2 = H, lower alkyl; n = 0-3; A = aryl, heteroaryl; A and R2 may combine to complete piperidine or tetrahydroisoquinoline ring]. I is an efficient component for prevention and treatment of bone diseases caused by Vacuolar ATPase. Thus, 2,3,4-tribenzyloxybenzoic acid was reacted with aniline in the presence of 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, followed by hydrogenation to give I [R1 = OH; R2 = H; n = 0; A = Ph], 4 μM of which showed Vacuolar ATPase inhibiting activity of 97%.
IT **180206-23-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of hydroxybenzamide deriva. as Vacuolar ATPase inhibitors)
RN 180206-23-9 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-2,3,4-trihydroxy- (9CI) (CA INDEX NAME)

L8 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:446475 CAPLUS
DN 121:46475
TI Silver halide color photographic materials with improved cyan color-forming properties
IN Naruse, Hideaki; Suzuki, Makoto
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 89 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05204110	A2	19930813	JP 1992-298264	19921012
US 5378596	A	19950103	US 1992-982619	19921127
PRAI JP 1991-335841	A1	19911127		

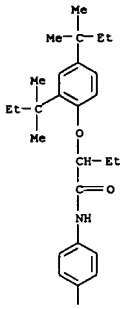
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

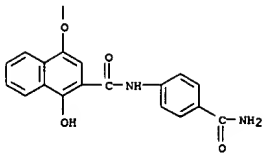
AB The Ag halide color photog. material, having ≥1 Ag halide emulsion layer on a support, comprises ≥1 pyrrolotriazole cyan coupler represented by I or II [Za, Zb = -C(R3):, -N:; Za or Zb is -N:; R1,2 = electron-acceptor with Hammett substitution constant σp≥20; σp of (R1 + R2) is ≥0.65; R3 = H, substituent; X = H, releasing moiety upon coupling reaction with oxidation product of aromatic primary amine color developing agent; R1-3 and X can be divalent moieties to form dimer or higher, or copolymer]; and. ≥1 Cyan coupler represented by III, IV [R11 = alkyl, aryl, heterocyclyl; R12 = C≥2 alkyl; R13 = H, halo, alkyl, aryl, alkoxy, aryloxy, carbonamido, ureido; R14 = alky, aryl, heterocyclyl, alkoxy, aryloxy, amino; X' = H, releasing moiety upon coupling reaction with oxidation product of aromatic primary amine color developing agent; n = 0, 1; R12 and R13 of III and R13 and R14 of IV may form rings], V, and VI [Q = naphthol nucleus coupler residue bonded at 2nd position; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, alkoxy, amino, aryl; R2 = moiety substitutable on benzene ring; R3,4 = H, alkyl, aryl, halo, alkoxy, aryloxy; R5,6 = H, alkyl, aryl; t = 0-4; m = 0-4].
IT **156123-06-7**
RL: USES (Uses)
(cyan coupler, silver halide color photog. material containing)
RN 156123-06-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[4-[[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]phenoxy]-1-hydroxy- (9CI)
(CA INDEX NAME)

L8 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



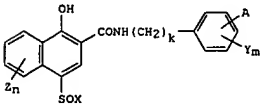
PAGE 2-A



L8 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:148838 CAPLUS
DN 120:148838
TI Silver halide color photographic material containing hydroxynaphthamide cyan coupler
IN Takizawa, Hiroo; Nakai, Yasushi
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05249628	A2	19930928	JP 1992-81462	19920304
PRAI JP 1992-81462		19920304		

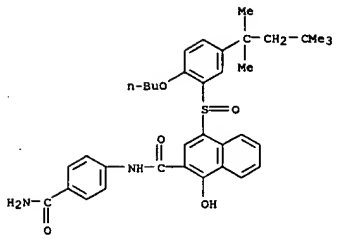
OS MARPAT 120:148838
GI



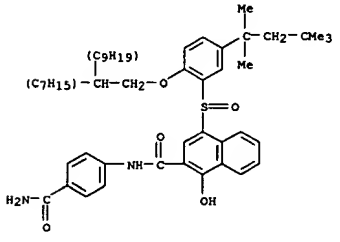
I

AB The material has ≥1 layer containing ≥1 hydroxynaphthamide cyan coupler I (A = CONHR, NHCOR, NHCONHR, CN; R = H, C1-30 aliphatic group, C6-30 aryl; Y, Z = substituents; X = C10-40 aliphatic group, C14-40 aryl, C10-40 heterocyclic group; k = 0-2; m, n = 0-4). The cyan coupler showed good spectral absorption characteristics and stability.
IT **152828-80-3 152971-33-0**
RL: USES (Uses)
(cyan coupler, silver halide photog. material containing, with good spectral characteristics and durability)
RN 152828-80-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[[2-butoxy-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfinyl]-1-hydroxy- (9CI) (CA INDEX NAME)

L8 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

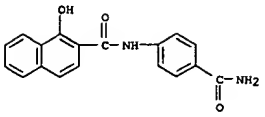


RN 152971-33-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-1-hydroxy-4-[[2-[(2-isoheptylisoundecyl)oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfinyl]- (9CI) (CA INDEX NAME)

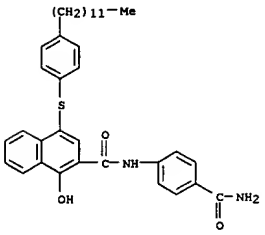


IT **152828-83-6P 152828-84-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
RN 152828-83-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-1-hydroxy- (9CI) (CA INDEX NAME)

L8 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

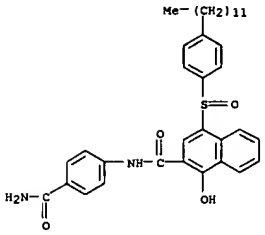


RN 152828-84-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[(4-dodecylphenyl)thio]-1-hydroxy- (9CI) (CA INDEX NAME)



IT **152828-79-0P**
RL: PREP (Preparation)
(preparation of, cyan coupler, silver halide photog. material containing, with
good spectral characteristics and durability)
RN 152828-79-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[(4-dodecylphenyl)sulfinyl]-1-hydroxy- (9CI) (CA INDEX NAME)

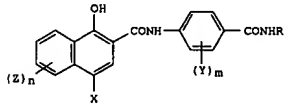
L8 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L8 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:505786 CAPLUS
DN 119:105786
TI Cyan dye-forming couplers and silver halide color photographic materials containing said couplers
IN Takizawa, Hiroo; Kobayashi, Hidetoshi; Naito, Hideki
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 66 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05100374	A2	19930423	JP 1991-287226	19911008
US 5380638	A	19950110	US 1992-956105	19921002
PRAI JP 1991-287226	A	19911008		

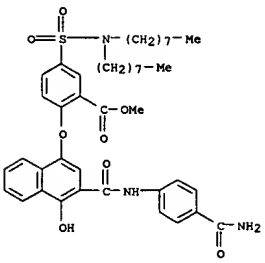
GI



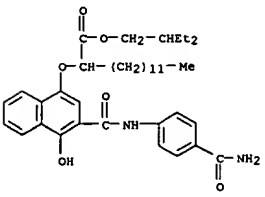
I

AB Claimed are cyan dye-forming couplers I. For I, R = H, alkyl, aryl; Y = substituent on benzene ring; Z = substituent on naphthalene ring; X = H, or group to be released upon coupling reaction; m, n = 0 to 4. The title photog. materials are also claimed. The title materials give excellent color reproduction
IT **149222-18-4**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. coupler)
RN 149222-18-4 CAPLUS
CN Benzoic acid, 2-[[3-[[[4-(aminocarbonyl)phenyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]oxy]-5-[(dioctylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



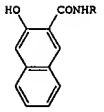
IT **149222-16-2P**
RL: TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of, as photog. coupler)
RN 149222-16-2 CAPLUS
CN Tetradecanoic acid, 2-[[3-[[[4-(aminocarbonyl)phenyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]oxy]-, 2-ethylbutyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1987:215574 CAPLUS
DN 106:215574
TI Producing azo lake pigments
IN Ando, Hirohito; Takada, Zenji; Aoki, Shigeto; Shigeta, Yuko
PA Dainippon Ink Chemical Industry Co., Japan
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 202906	A1	19861126	EP 1986-303794	19860519
	EP 202906	B1	19890125		
	R: CH, DE, GB, LI				
	JP 62054763	A2	19870310	JP 1986-103944	19860508
	JP 07053835	B4	19950607		
	US 4767844	A	19880830	US 1987-88975	19870821
PRAI	JP 1985-105975	A	19850520		
	US 1986-866065	A3	19860520		

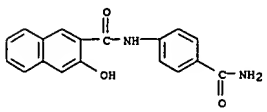
OS CASREACT 106:215574; MARPAT 106:215574
GI



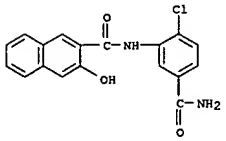
II

AB Title pigments for use in coatings, plastics, and inks have good transparency, color strength, and dispersibility and are prepared by coupling an aromatic diazo compound having SO3H group with a coupler-cocoupler mixture containing 2-hydroxy-3-naphthoic acid (I) and II (R = H, naphthalene residue, cyclohexyl group, C6H2XYZ where X, Y, Z = H, lower alkyl, lower alkoxy, halogen, acetylamino, benzoylamino, carbamoyl or phenylcarbamoyl, where X and Y together form a cyclized benzimidazolone, benzothiazole or benzoxazole group) and baking the dye with alkaline earth metal salt or Mn salt. Thus, 100 parts 2-amino-5-methylbenzene sulfonic acid was diazotized, added dropwise to a 90:10 coupler solution of I and 2-hydroxy-3-naphthoic acid-5'--chloro-2',4'-dimethoxyanilide (III) and the reaction mixture was added to a solution of 90 parts CaCl2 in 500 parts H2O and stirred 60 min then heated and stirred 80° on addnl. 30 min to give a bluish red pigment. An ink composition containing 18 parts above pigment had color strength 2.28, 60° gloss 75, transparency (JIS K5101B) 5, and

L8 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
flowability (distance over 30 min) 115 mm, vs. 1.30, 62, 2, and 110, resp., without III.
IT **72735-24-1 108602-43-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with diazotized aminobenzene sulfonate)
RN 72735-24-1 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)

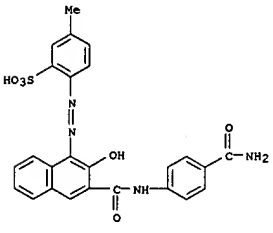


RN 108602-43-3 CAPLUS
CN 2-Naphthalenecarboxamide, N-[5-(aminocarbonyl)-2-chlorophenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



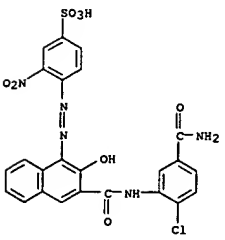
IT **108582-42-9P 108582-60-1P**
RL: PREP (Preparation)
(preparation of, as pigments for inks, coatings, and plastics)
RN 108582-42-9 CAPLUS
CN Benzenesulfonic acid, 2-[[3-[[[4-(aminocarbonyl)phenyl]amino]carbonyl]-2-hydroxy-1-naphthalenyl]azo]-5-methyl-, calcium salt (2:1) (9CI) (CA INDEX NAME)

L8 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



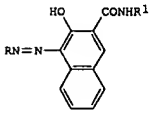
●1/2 Ca

RN 108582-60-1 CAPLUS
CN Benzenesulfonic acid, 4-[[3-[[[5-(aminocarbonyl)-2-chlorophenyl]amino]carbonyl]-2-hydroxy-1-naphthalenyl]azo]-3-nitro-, strontium salt (2:1) (9CI) (CA INDEX NAME)



●1/2 Sr

L8 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1986:574383 CAPLUS
DN 105:174383
TI Macromolecular azo pigments
AU Achi, S. S.; Apperley, T. W. J.
CS Postgrad. Sch. Chem. Technol., Univ. Bradford, BD7 1DP, UK
SO Dyes and Pigments (1986), 7(5), 319-40
CODEN: DYPIDX; ISSN: 0143-7208
DT Journal
LA English
OS CASREACT 105:174383
GI



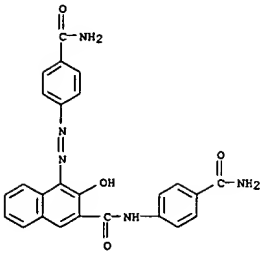
I

AB Bis-amino azo pigments (I; R, R' = aminoaryl) were polymerized to high mol. weight pigments by condensation with cyanuric chloride, or by conversion to acryloylamino derivs. (I; R, R' = acrylamidoaryl) followed by free-radical-induced polymerization The products were of high color value and had low solubility in solvents used in surface coatings.
IT **104956-70-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectral properties of)
RN 104956-70-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[[4-(aminocarbonyl)phenyl]azo]-3-hydroxy-, polymer with 2,4,6-trichloro-1,3,5-triazine (9CI) (CA INDEX NAME)

CM 1

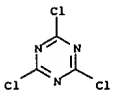
CRN 104956-69-6
CMF C25 H19 N5 O4

L8 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

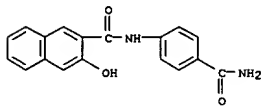


CM 2

CRN 108-77-0
CMF C3 Cl3 N3



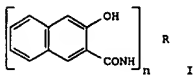
IT **72735-24-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as coupling components for azo pigments)
RN 72735-24-1 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



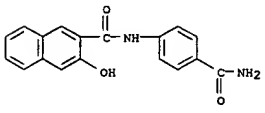
L8 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:76179 CAPLUS
DN 92:76179
TI Aryl amides of 2-hydroxy-3-naphthoic acid
IN Chlost, Milan; Duba, Osvald; Lustig, Jiri
PA Czech.
SO Czech., 6 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 178560	B	19790515	CS 1974-6994	19760324
PRAI	CS 1974-6994		19760324		

GI



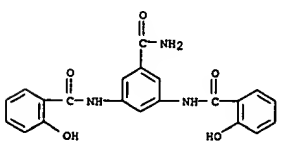
AB The title compds. I (n = 1, R = aryl, heterocycle; n = 2, R = arylene) were prepared by treating an aromatic mono- or diamine with 1- or 2-fold mol equivalent 3,2-HOC10H5COCl. Thus, treating 3,2-HOC10H5CO2H with SOCl2 gave the acid chloride, which reacted in situ with PhNH2 in a chilled aqueous PhMe emulsion at pH at 4.5-5.5. The mixture was neutralized and PhMe distilled to yield 92% I (n = 1, R = Ph). Similarly prepared were 18 other I (R = benzene ring substituted with Me, OMe, Cl, NO2, NHAc, CONH2, NHCHO, and NHCONH2 or R = benzimidazolyl or benzotriazolyl residue).
IT **72735-24-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72735-24-1 CAPLUS
CN 2-Naphthalenecarboxamide, N-[4-(aminocarbonyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1975:16584 CAPLUS
DN 82:16584
TI Antihemolytic bis(benzamido)benzoic acid derivatives
IN Mori, Takashi; Takaku, Sakae; Osugi, Yoshiyuki; Matsuno, Takashi; Tomizawa, Shogo
PA Chugai Pharmaceutical Co., Ltd.
SO Ger. Offen., 32 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2414799	A1	19741010	DE 1974-2414799	19740327
	JP 49117442	A2	19741109	JP 1973-34152	19730327
	JP 57015585	B4	19820331		
	JP 50111039	A2	19750901	JP 1974-19407	19740220
	US 3953496	A	19760427	US 1974-451003	19740313
	GB 1460811	A	19770106	GB 1974-11738	19740315
	CA 1042914	A1	19781121	CA 1974-195112	19740315
	HU 167255	P	19750927	HU 1974-CU143	19740325
	ES 424668	A1	19760601	ES 1974-424668	19740326
	CS 168472	P	19760629	CS 1974-2174	19740326
	SU 560530	D	19770530	SU 1974-2008059	19740326
	SE 406463	C	19790531	SE 1974-4081	19740326
	SE 406463	B	19790212		
	DK 142543	B	19801117	DK 1974-1667	19740326
	DK 142543	C	19810720		
	BE 812869	A1	19740715	BE 1974-2053507	19740327
	FR 2223034	A1	19741025	FR 1974-10646	19740327
	CH 593921	A	19771230	CH 1974-4232	19740327
PRAI	JP 1973-34152	A	19730327		
	JP 1974-19407	A	19740220		

OS CASREACT 82:16584
GI For diagram(s), see printed CA Issue.
AB Twenty-seven benzoic acid derivs. I and II [R = e.g. OH, OMe, or NH2; R1 = 2-R2OC6H4CONH (in I in 4-, 5-, or 6-position), R2 = e.g. H or Ac] were prepared by benzoylation of the corresponding amino compds. optionally followed by hydrolysis and(or) saponification and(or) acetylation. I and II had antihemolytic activities in sheep.
IT **54338-09-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for hemolysis inhibition)
RN 54338-09-9 CAPLUS
CN Benzamide, 3,5-bis[(2-hydroxybenzoyl)amino]- (9CI) (CA INDEX NAME)

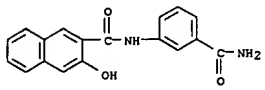


L8 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

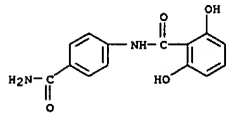
L8 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1974:493051 CAPLUS
DN 81:93051
TI Monoazo pigment
IN Lisitsyna, E. S.; Barinova, M. S.; Petrova, K. R.; Fomina, T. L.; Gorenko, V. N.
SO U.S.S.R.
From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1974, 51(4), 68.
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI SU 413168	T	19740130	SU 1971-1725408	19711213
PRAI SU 1971-1725408	A	19711213		

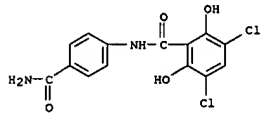
AB Azo pigments were prepared by coupling diazotized aniline derivs. with 3-hydroxy-2-naphthoic acid aryl anilide derivs. (I, R, R1 = MeO, Cl, Me, H).
IT 52671-59-7D, 2-Naphthalenecarboxamide, N-[3-(aminocarbonyl)phenyl]-3-hydroxy-, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diazotized aniline derivs., pigments from)
RN 52671-59-7 CAPLUS
CN 2-Naphthalenecarboxamide, N-[3-(aminocarbonyl)phenyl]-3-hydroxy- (9CI) (CA INDEX NAME)



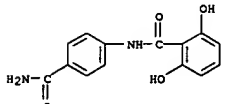
L8 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:487332 CAPLUS
DN 79:87332
TI 2,6-Dihydroxybenzoic acid anilides active against liver flukes. Hansch analysis
AU Druckrey, E.; Metzger, H.
CS Farbwerke Hoechst A.-G., Frankfurt/Main, Fed. Rep. Ger.
SO Journal of Medicinal Chemistry (1973), 16(5), 436-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Hansch anal. revealed that 2,6-dihydroxybenzoic acid anilides (I) will be effective and selective inhibitors of liver fluke (Fasciola hepatica) succinate dehydrogenase [9002-02-2] if R is very lipophilic and R1 is hydrophilic or only slightly lipophilic. Such compds. may also be effective in vivo against F. hepatica, in which conversion of fumarate to succinate is a key metabolic process.
IT 50504-74-0 50505-08-3
RL: BIOL (Biological study)
(succinate dehydrogenase inhibiting, Hansch anal. in evaluation of)
RN 50504-74-0 CAPLUS
CN Benzamide, N-[4-(aminocarbonyl)phenyl]-2,6-dihydroxy- (9CI) (CA INDEX NAME)



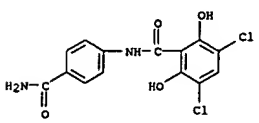
RN 50505-08-3 CAPLUS
CN Benzamide, N-[4-(aminocarbonyl)phenyl]-3,5-dichloro-2,6-dihydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:487331 CAPLUS
DN 79:87331
TI 2,6-Dihydroxybenzoic acid anilides as fasciolicides
AU Duewel, D.; Metzger, H.
CS Pharma Res. Lab., Farbwerke Hoechst. A.-G., Frankfurt/Main, Fed. Rep. Ger.
SO Journal of Medicinal Chemistry (1973), 16(5), 433-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Many 2,6-dihydroxybenzanilides were selective inhibitors of Fasciola hepatica succinate dehydrogenase [9002-02-2] in vitro and potent fasciolicides in vivo in sheep. However, in vitro selectivity for the fluke enzyme and in vivo potency were poorly correlated, probably due to pharmacokinetic factors. Effects of varying substituents on the aniline and benzoic acid rings were similar; increasing hydrophilicity increased the selectivity of the compds. as inhibitors of the fluke enzyme, compared with the rat myocardial enzyme. Maximum tolerated dose in mice was also inversely dependent on lipophilicity. The most potent compound tested, 2,6-dihydroxy-3,4',5-trichlorobenzanilide (I) [41109-88-0], was highly effective in sheep at 0.6 .tim. 10-5 mole/kg, and was 30 times as potent an inhibitor of F. hepatica succinate dehydrogenase in vitro (Ki = 6.00 .tim. 109M) as of the enzyme from rat myocardium.
IT 50504-74-0 50505-08-3
RL: BIOL (Biological study)
(fasciolicide and succinate dehydrogenase inhibitor)
RN 50504-74-0 CAPLUS
CN Benzamide, N-[4-(aminocarbonyl)phenyl]-2,6-dihydroxy- (9CI) (CA INDEX NAME)



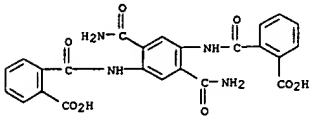
RN 50505-08-3 CAPLUS
CN Benzamide, N-[4-(aminocarbonyl)phenyl]-3,5-dichloro-2,6-dihydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1967:517374 CAPLUS
DN 67:117374
TI Heat-stable polymers. V. Poly(isoindoloquinazolinediones) and polymers with related structures
AU Rabilloud, Guy; Sillion, Bernard; De Gaudemaris, Gabriel
CS C.E.N., Grenobel, Fr.
SO Makromolekulare Chemie (1967), 108, 18-51
CODEN: MACEAK; ISSN: 0025-116X
DT Journal
LA French
GI For diagram(s), see printed CA Issue.
AB AcNMe2 (25 ml.) containing 2.72 g. bisanthranilic acid and 2.96 g. phthalic anhydride was kept 30 min. at ambient temperature, then refluxed for 6 hrs. to give 3.35 g. 4,4'-diphthalimidobiphenyl-3,3'-dicarboxylic acid, m. 391°. The same acid was prepared by heating 500 mg. 4,4'-diphthalimido-3,3'-biphenyldicarboxamide and 15 g. polyphosphoric acid 3 hrs. at 200-20°. The above acid (8.2 g.) was added in portions to 90 ml. H2O and 15.6 g. Na2CO3, the temperature was raised to 70°, 13.8 g. p-ClSO2C6H4Me was added in 45 min., the mixture was heated 30 min. at 70-5°, heated to 95°, and filtered rapidly to give 16.2 g. 4,4'-bis(p-toluenesulfonamido)biphenyl-3,3'-dicarboxylic acid (I), m. 309-10°. Similarly prepared was 2,5-bis(p-toluenesulfonamido)terephthalic acid. A solution of 8.1 g. I in 100 ml. C6H6 was treated with 7 g. PCl5, stirred 1.5 hrs. at 50°, cooled to ambient temperature, and evaporated to dryness. The residue was dissolved in 120 ml. C6H6 and treated 2 hrs. with NH3 to give 7 g. 4,4'-bis(p-toluenesulfonamido)biphenyl-3,3'-dicarboxamide (II), m. 332°. Similarly prepared was 2,5-bis(p-toluenesulfonamido)terephthalamide. II (7 g.) in 50 ml. concentrated H2SO4 was heated for 15 min. at 100°, poured over 400-500 g. crushed ice, and neutralized with 12N aqueous NH3 to give 86% 4,4'-diaminobiphenyl-3,3'-dicarboxamide (III), m. 340°. Similarly prepared was 2,5-diaminoterephthalamide, m. 300°. A mixture of 20 ml. AcNMe2 and 3.7 g. phthalic anhydride was treated with 3.4 g. anthranilamide added in 4 portions, stirred 1 hr. at ambient temperature, and diluted with H2O to give 6.7 g. 2-carbamoyl-N-phenylphthalamic acid, m. 212°. This acid (2.8 g.) and 26 ml. 1:1 Ac2O-pyridine was kept overnight and filtered to give 1.7 g. 2-phthalimidobenzamide, m. 239°. The same product was obtained by cyclization with dicyclohexylcarbodiimide (IV) or by heating the acid in HCONMe2. Phthalanilic acid (2.4 g.) in 25 ml. AcNMe2 was treated with 2.06 g. III in 10 ml. AcNMe2 and kept overnight to give 3-phenyliminophthalide, m. 112-13°. Cyclization of 2-phthalimidobenzamide by heating, Ac2O, or polyphosphoric acid gave 5H,11H-isoindolo[2,1-a]quinazoline-5,11-dione, m. 242°. Anthranilamide (2.72 g.) in 15 ml. HCONMe2 was treated with 2.18 g. pyromellitic dianhydride added in small portions, kept 1 hr. at ambient temperature, and filtered to give 2.6 g. 4,6-bis[N-(2-carbamoylphenylphenylcarbamoyl]isophthalic acid or 2,5-bis[N-(2-carbamoylphenylphenylcarbamoyl]terephthalic acid. A suspension of this compound (2 g.) in 15 ml. 1:1 Ac2O-pyridine was stirred for 7 hrs. and kept 48 hrs. at room temperature to give 1.35 g. N,N'-bis(2-carbomoylphenyl)pyromellitimide, m. >400°. This compound (0.7 g.)

L8 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
was heated for 4 hrs. at 300°/0.02 mm. to give 0.5 g. of a residue, m. 444°, which was identified as 5H,9H,15H,17H-bisquinazolino[1,2-a:1',2'-a']benzo[1,2-c:5,4-c']dipyrrole-5,9,15,17-tetrone (IVa or IVb). Anthranilamide (0.02 mole) was condensed with 0.01 mole diphenyl ether 3,3',4,4'-tetracarboxylic acid dianhydride (V) to give a condensation product, m. 250°, which was treated with Ac2O-pyridine as above to give 4,4'-oxybis(2-phthalimidobenzamide), m. 239°. Thermal treatment of this compd. gave VI (X = O), m. 228°. Condensation of anthranilamide and benzophenone-3,3',4,4'-tetracarboxylic acid dianhydride
(VII) gave a diacid, m. 325°, which was treated with Ac2O-pyridine to give N,N'-di-2-carbamoylbenzophenone-3,3',4,4'-tetracarboxylic diimide, m. 298°. The latter was subjected to thermal treatment to give VI (X = CO), m. 268°. A soln. of 2.96 g. phthalic anhydride in 30 ml. HCONMe2 was treated with 2.7 g. III added in portions and stirred 2 hrs. at ambient temp. to give 4,4'-bis(2-carboxybenzamido)biphenyl-3,3'-dicarboxamide, m. >400°. This compd. was treated with Ac2O-pyridine to give 4,4'-diphthalimidobiphenyl-3,3'-dicarboxamide, m. >400°, which was heated in vacuo to give 6H,6',12H,12'H-8,8'-bis(isoindolo[2,1-a]quinazoline)-6,6',12,12'-tetrone, m. >400°. A soln. of 0.97 g. 2,5-diaminoterephthalamide in 20 ml. HCONMe2 was treated with 1.48 g. phthalic anhydride and stirred overnight at ambient temp. to give 2.8 g. 2,5-bis(2-carbobenzamido)terephthalamide, m. >400°. This compd. (1.4 g.) in 15 ml. AcNMe2 was treated with 1.3 g. IV in 10 ml.
AcNMe2 and stirred for 15 hrs. to give 2,5-diphthalimidoterephthalamide, m. >400°, which was heated as above to give 6H,9H,15H,18H-isoindolo[2,1 - a]isoindolo[1',2':2,3]pyrimido[4,5 - g]quinazoline - 6,9,15,18-tetrone, m. >500°. A mixt. of 0.5406 g. III and 0.4363 g. pyromellitic dianhydride was kept overnight under argon, mixed with 4.7 ml. HCONMe2, stirred 5 hrs., and pptd. in Me2CO to give a III-pyromellitic dianhydride copolymer (VII), ηinh (inherent viscosity) 0.92 (0.5% at 30°). VII in 20 ml. AcNMe2 was stirred 15 hrs., treated with 2.5 g. IV in 10 ml. AcNMe2, stirred overnight, and dild. with ether to give a polymide-amide, ηinh 0.84 (0.5% HCONMe2). VII was heated to 250° at 2°/min., kept 30° min. at this temp., heated to 400° at 3°/min., and kept 30 min. at this temp. to give a 5H,9H,15H,17H-bisquinazolino[1,2-a:1',2'-a']benzo[1,2-c:5,4-c']dipyrrole-5,9,15,17-tetrone polymer, ηinh 0.63 (0.5% in H2SO4). Similarly, a III-VII copolymer was cyclized to a polyimide-amide, ηinh 0.38 (0.5% in AcNMe2) and treated thermally to give an 8,8'-oxybis(5H,11H-isoindolo[2,1-a]quinazoline-5,11-dion-1-yl) polymer, ηinh 0.33 (0.5% in concd. H2SO4). Also, a III-V copolymer, ηinh 0.7 (0.5% in HCONMe2) was cyclized to a polyimide-amide, ηinh 0.44 (0.5% AcNMe2) and treated thermally to given an 8,8'-oxybis(5H,11H-isoindolo[2,1-a]quinazoline-5,11-dion-1-yl) polymer, ηinh 0.52 (0.5% in concd. H2SO4). A pyromellitic dianhydride-2,5-diaminoterephthalamide copolymer, ηinh 0.70 (0.5% Me2SO) was cyclized to the polyimide-amide, ηinh 0.47 (0.2% Me2SO), and treated thermally to give a ladder polymer, ηinh 0.49 (0.5% H2SO4). Cf. CA 64: 19810c.
IT **18492-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

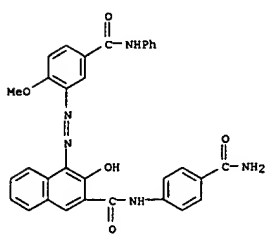
L8 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of)
RN 18492-15-4 CAPLUS
CN Phthalanilic acid, 2',5'-dicarbamoyl-4'-(o-carboxybenzamido)- (8CI) (CA INDEX NAME)



L8 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1965:23284 CAPLUS
DN 62:23284
OREF 62:4218c-e
TI Azo pigments with improved fluidity
IN Siebert, Arthur; Dietz, Erich; Schilling, Karl; Geissler, Georg
PA Farbwerke Hoechst A.-G.
SO 3 pp.; Addn. to Ger. 1,155,755 (CA 60, 8170b)
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1179908		19641022	DE 1960-F32683	19601202

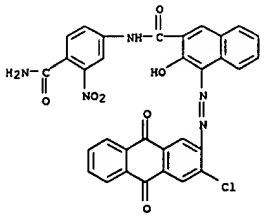
AB Azo pigments were treated in aqueous suspension at 40-100° with 25-10,000 weight % (based on 100% azo dye) C6H6, PhMe, xylene, PhCl, C6H4Cl2, C6H3Cl3, or PhNO2. Thus, 5 l. of a 2.5% aqueous suspension of the dye [3,4-Cl(H2N)C6H3]2 → 2-MeOC6H4NHCOCH2Ac was treated with 400 g. o-C6H4Cl2, heated to 95°, kept 1 hr., filtered, washed, and dried. The yellow disazo dye shows an oil absorption of 48 cc. linseed oil per 100 g. dye, while the untreated dye has an oil absorption of 114 cc. The light fastness is also improved.
IT **1263-04-3**, 2-Naphthanilide, 4'-carbamoyl-3-hydroxy-4-[[2-methoxy-5-(phenylcarbamoyl)phenyl]azo]-
(flow of, treatment for improved)
RN 1263-04-3 CAPLUS
CN 2-Naphthanilide, 4'-carbamoyl-3-hydroxy-4-[[2-methoxy-5-(phenylcarbamoyl)phenyl]azo]- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1963:436081 CAPLUS
DN 59:36081
OREF 59:6556e-h
TI Anthraquinone azo dyes
IN Bergstrom, Herman A.
PA General Aniline & Film Corp.
SO 5 pp.
DT Patent
LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3079376		19630226	US 1957-640328	19570215

GI For diagram(s), see printed CA Issue.
AB Pigments of high light fastness are obtained by diazotizing leuco sulfuric esters of 2-amino-anthraquinones, coupling with 3-hydroxy-2-naphthanilides, and oxidizing the product to give I. Thus, 42.9 parts of the di-Na salt of 2-aminoanthraquinone 9,10-dihydrodisulfuric acid ester (II) is diazotized, coupled with 33.4 parts 4'-(butylcarbamoyl)-3-hydroxy-2-naphthanilide (III) and the product hydrolyzed and oxidized by heating in 1500 parts H2O with 13 parts 31.5% aqueous NaNO2 and 95 parts 20° Be. HCl for 0.5-1 hr. at 70-90° to give I(V = W = X = Z = H, Y = CONMe2), a red pigment. Similarly, other I are prepared (V, W, X, Y, Z, and color given): 3-Cl, H, H, CONHCHMe2, H, red; 3-Cl, H. H, H, CONHPh, red; 3-Cl, Me, H, SO2R (R = piperidino), H, orange; 1-Cl, Me, H, H, SO2R, red; 3-Cl, H, H, COR, H, red; H, H, H, H, CONHCHMe2, H, red; 6-Cl, H, H, CONHC6H11, H,; 3-Cl, Cl, H, SO2NMe2, H, -; 3-Cl, OMe, H, H, CONMe2; 3-Cl, H, NO2, CONH2, H,; 3-Cl, H, H, CONMe2, H, -. Similarly, the 1-amino isomer of II and the 4-CONHBu analog of III gave a red pigment. The 3-Cl derivative of II was also coupled with 8-hydroxy-4'-(isopropylcarbamoyl)-1-naphthanilide.
IT **98840-17-6**, 2-Naphthanilide, 4'-carbamoyl-4-[(3-chloro-2-anthraquinonyl)azo]-3-hydroxy-3'-nitro-
(preparation of)
RN 98840-17-6 CAPLUS
CN 2-Naphthanilide, 4'-carbamoyl-4-[(3-chloro-2-anthraquinonyl)azo]-3-hydroxy-3'-nitro- (7CI) (CA INDEX NAME)



L8 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1957:71728 CAPLUS
DN 51:71728
OREF 51:12983e-h
TI Amides of hydroxybenzotriazolecarboxylic acids
IN Scalera, Mario; Adams, Frederic H.
PA American Cyanamid Co.
DT Patent
LA Unavailable
FAN.CNT 1

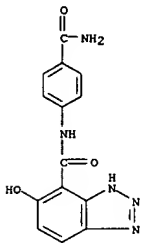
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2777855		19570115	US 1954-472528	19541201

AB Continuation in part of U.S. 2,200,669 (cf. C.A. 48, 14225c; 49, 6614e). Aromatic amides are prepared of 5-hydroxy-1,2,3-benzotriazolecarboxylic acids in which CONHR is in o-position to OH. (In this abstract Y = 5-hydroxy-4-benzotriazolyl and Z = 5-hydroxy-6-benzotriazolyl). Thus, YCO2H (I) is treated with PCl3 and o-toluidine, p-BuOC6H4NH2, p-ClC6H4NH2, 3,4,6-Cl(MeO)2C6H2NH2 (II), p-AmC6H4NH2, o-H2NC6H4Ac, p-H2NC6H4CONH2, p-O2NC6H4NH2, 4-amino-2-methoxydibenzofuran, 8,1-H2NC10H6OH, or sulfanilamide (III). ZCO2H (IV) and PCl3 with p-O2NC6H4NH2 (V) give a similar amide; also with III, 2-amino-1,3-diazine, 2-aminothiazole, II, 1-C10H7NH2, p-EtC6H4NH2, and p-H2NC6H4Bz. IV and (p-H2NC6H4)2CH2 give the corresponding (ZCONHC6H4)2CH2, and IV reacts similarly with V, tolidine, (p-H2NC6H4CH:)2, (p-H2NC6H4:N)2, [3,4-Cl(H2N)C6H3]2, (p-H2NC6H4)2CO, 3,8-diaminodibenzothiophene 1,1-dioxide, and p-C6H4(NH2)2. Diazotized 2-nitro-p-toluidine (VI) and ZCONHPh give a brown cotton dye, as do also diazotized p-ZCONHSO2C6H4NH2 with VI, the N-ZCO derivative of 2-amino-1,3-diazine with diazotized o-anisidine, the N-ZCO derivative of 2-aminothiazole with V, and (p-ZCONHC6H4)2CH2 with diazotized VI.

IT **108950-94-3**, Benzotriazole-4-carboxanilide, 4'-carbamoyl-5-hydroxy- (preparation of)

RN 108950-94-3 CAPLUS

CN Benzotriazole-4-carboxanilide, 4'-carbamoyl-5-hydroxy- (6CI) (CA INDEX NAME)



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